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Welcome to STN International! Enter x:X

LOGINID:SSPTAJMN1626

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	NOV 21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS	3	NOV 26	MARPAT enhanced with FSORT command
NEWS	4	NOV 26	CHEMSAFE now available on STN Easy
NEWS	5	NOV 26	Two new SET commands increase convenience of STN searching
NEWS	6	DEC 01	ChemPort single article sales feature unavailable
NEWS	7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS	8	DEC 17	Fifty-one pharmaceutical ingredients added to PS
NEWS	9	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS	10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS	11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATEM
NEWS	12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:03:02 ON 02 FEB 2009

=> FIL REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 08:03:21 ON 02 FEB 2009

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 JAN 2009 HIGHEST RN 1098270-10-0
DICTIONARY FILE UPDATES: 30 JAN 2009 HIGHEST RN 1098270-10-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

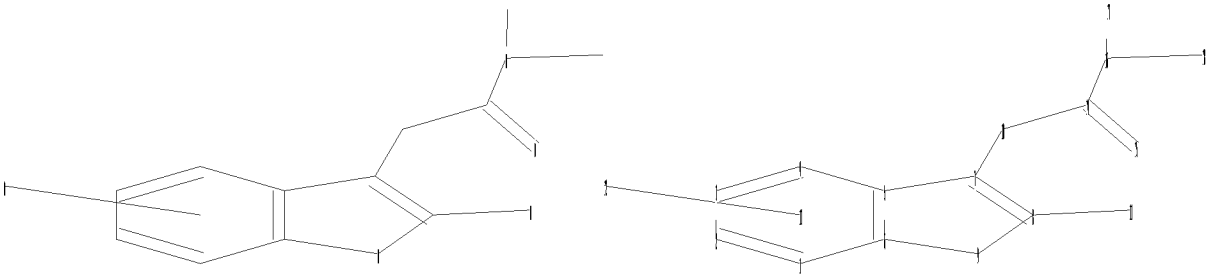
TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10539151\claim 20.str



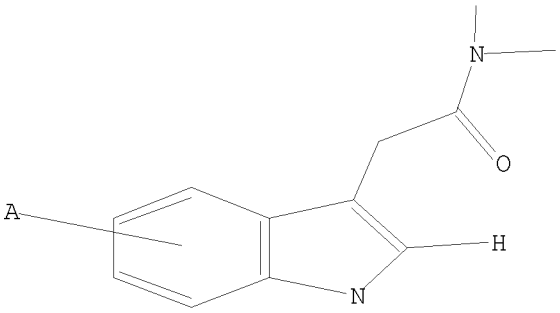
chain nodes :
10 11 12 14 15
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
16 17 18
chain bonds :
7-10 8-11 10-14 14-15 14-16
ring/chain bonds :
16-17 16-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 8-9 14-15 14-16 16-17 16-18

exact bonds :
7-10 8-11 10-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> D
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> S L1
SAMPLE SEARCH INITIATED 08:03:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2843 TO ITERATE

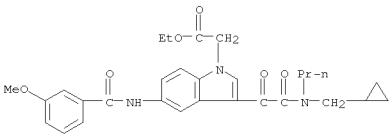
70.3% PROCESSED 2000 ITERATIONS 48 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 53662 TO 60058
PROJECTED ANSWERS: 869 TO 1859

L2 48 SEA SSS SAM L1

=> D SCAN

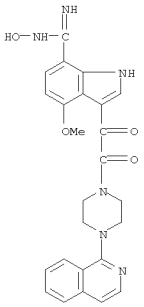
L2 48 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C29 H33 N3 O6



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

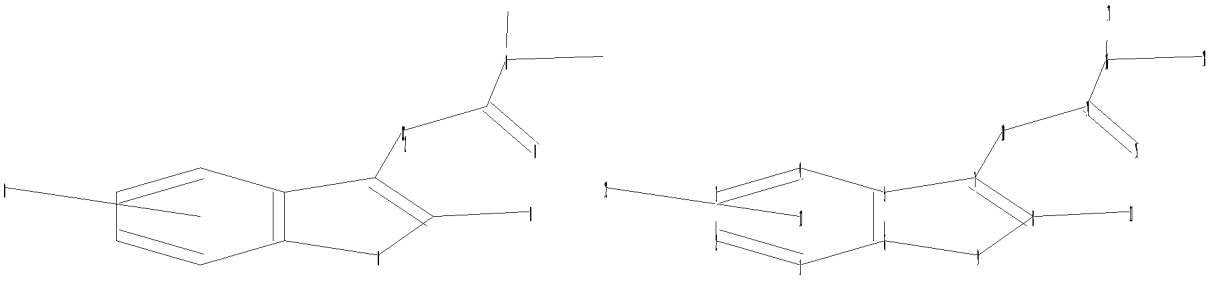
L2 48 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Indole-7-carboximidamide, N-hydroxy-3-[2-[4-(1-isoquinolinyl)-1-piperazinyl]-2-oxoacetyl]-4-methoxy-
MF C25 H24 N6 O4



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>
Uploading C:\Program Files\STNEXP\Queries\10539151\claim 20_2.str

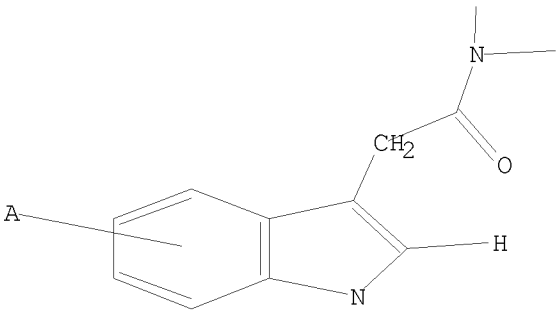


chain nodes :
10 11 12 14 15
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
16 17 18
chain bonds :
7-10 8-11 10-14 14-15 14-16
ring/chain bonds :
16-17 16-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 8-9 14-15 14-16 16-17 16-18
exact bonds :
7-10 8-11 10-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L3 STRUCTURE UPLOADED

=> D
L3 HAS NO ANSWERS
L3 STR



Structure attributes must be viewed using STN Express query preparation.

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=> S L3
SAMPLE SEARCH INITIATED 08:05:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     2843 TO ITERATE

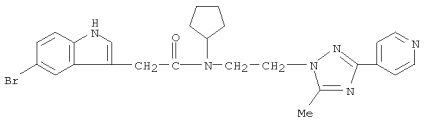
 70.3% PROCESSED     2000 ITERATIONS                                1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         53662 TO    60058
PROJECTED ANSWERS:                1 TO       99

L4             1 SEA SSS SAM L3

=> D SCAN
```

L4 1 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Indole-3-acetamide, 5-bromo-N-cyclopentyl-N-[2-[5-methyl-3-(4-pyridinyl)-1H-1,2,4-triazol-1-yl]ethyl]-
MF C25 H27 Br N6 O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

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=> S L3 FULL
FULL SEARCH INITIATED 08:05:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    55859 TO ITERATE

100.0% PROCESSED    55859 ITERATIONS                                152 ANSWERS
SEARCH TIME: 00.00.01

L5          152 SEA SSS FUL L3

=> D SCAN
```
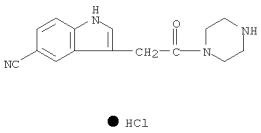
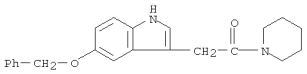

L5 152 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Indole-5-carbonitrile, 3-[2-oxo-2-(1-piperazinyl)ethyl]-, hydrochloride
(1:1)
MF C15 H16 N4 O . Cl H



● HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

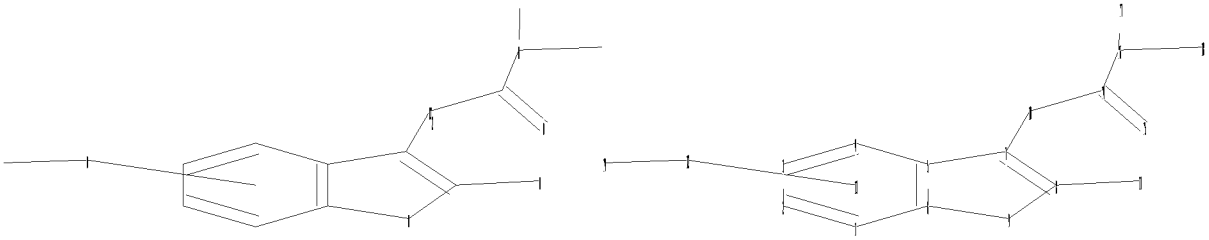
L5 152 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Ethanone, 2-[5-(phenylmethoxy)-1H-indol-3-yl]-1-(1-piperidinyl)-
MF C22 H24 N2 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>
Uploading C:\Program Files\STNEXP\Queries\10539151\claim 20 R1 is alkoxy.str

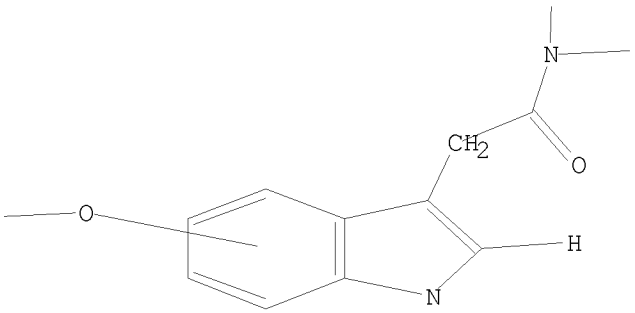


chain nodes :
10 11 12 14 15 19
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
16 17 18
chain bonds :
7-10 8-11 10-14 12-19 14-15 14-16
ring/chain bonds :
16-17 16-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 8-9 12-19 14-15 14-16 16-17 16-18
exact bonds :
7-10 8-11 10-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS

L6 STRUCTURE UPLOADED

=> D
L6 HAS NO ANSWERS
L6 STR



Structure attributes must be viewed using STN Express query preparation.

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=> S L6 FULL SUB=L5
FULL SUBSET SEARCH INITIATED 08:05:52 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -      152 TO ITERATE

100.0% PROCESSED      152 ITERATIONS                              68 ANSWERS
SEARCH TIME: 00.00.01

L7            68 SEA SUB=L5 SSS FUL L6

=> S L6 NOT L7
L7 MAY NOT BE USED HERE
The L-number entered was not created by a STRUCTURE or SCREEN command.

=> D HIS

     (FILE 'HOME' ENTERED AT 08:03:02 ON 02 FEB 2009)

     FILE 'REGISTRY' ENTERED AT 08:03:21 ON 02 FEB 2009
L1               STRUCTURE UPLOADED
L2            48 S L1
L3               STRUCTURE UPLOADED
L4             1 S L3
L5           152 S L3 FULL
L6               STRUCTURE UPLOADED
L7            68 S L6 FULL  SUB=L5

=> S L5 NOT L7
L8            84 L5 NOT L7

=> FIL CAPLUS
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                232.76     232.98

FILE 'CAPLUS' ENTERED AT 08:07:32 ON 02 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 2 Feb 2009 VOL 150 ISS 6
FILE LAST UPDATED: 30 Jan 2009 (20090130/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L8
L9 44 L8

=> D IBIB 1-5

L9 ANSWER 1 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1006368 CAPLUS
DOCUMENT NUMBER: 149:307661
TITLE: Novel indole derivatives as inhibitors hepatitis C virus replication and their preparation and use in the treatment of hepatitis C infection
INVENTOR(S): Beigelman, Leonid; Buckman, Brad; Wang, Guangyi; Matulic-Adamic, Jasenka; Stoycheva, Antitsa Dimitrova; Andrews, Steven W.; Misialek, Shawn Maurice; Rajagopalan, P. T. Ravi; Fryer, Andrew M.; Gunawardana, Indrani; Haas, Julia; Huang, Lily; Madduru, Machender R.; Zhang, Gan; Kossen, Karl; Serebryany, Vladimir
PATENT ASSIGNEE(S): Intermune, Inc., USA
SOURCE: PCT Int. Appl., 397pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008100867	A2	20080821	WO 2008-US53617	20080211
WO 2008100867	A3	20090108		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2007-889433P P 20070212

OTHER SOURCE(S): MARPAT 149:307661

L9 ANSWER 2 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:581016 CAPLUS
DOCUMENT NUMBER: 149:104570
TITLE: 2-Aminomethyl piperidines as novel urotensin-II receptor antagonists
AUTHOR(S): Jin, Jian; Wang, Yonghui; Wang, Feng; Shi, Dongchuan; Erhard, Karl F.; Wu, Zining; Guida, Brian F.; Lawrence, Sarah K.; Behm, David J.; Disa, Jyoti; Vaidya, Kalindi S.; Evans, Christopher; McMillan, Lynette J.; Rivero, Ralph A.; Neeb, Michael J.; Douglas, Stephen A.
CORPORATE SOURCE: GlaxoSmithKline, Cardiovascular and Urogenital Center of Excellence for Drug Discovery, King of Prussia, PA, 19406, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(9), 2860-2864
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:104570
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:505080 CAPLUS
DOCUMENT NUMBER: 148:495786
TITLE: Preparation of deuterated aminoethylindolylmethylsulfonamides as serotonin 5-HT1B and/or 5-HT1D receptor modulators.
INVENTOR(S): Gant, Thomas G.; Sarshar, Sepehr
PATENT ASSIGNEE(S): Auspex Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 117pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008049116	A2	20080424	WO 2007-US81977	20071019
WO 2008049116	A3	20080605		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20080103189	A1	20080501	US 2007-875670	20071019

PRIORITY APPLN. INFO.: US 2006-853243P P 20061019

OTHER SOURCE(S): CASREACT 148:495786; MARPAT 148:495786

L9 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:501180 CAPLUS
DOCUMENT NUMBER: 148:495981
TITLE: Preparation of piperazine-substituted benzothiophenes for treatment of mental disorders
INVENTOR(S): Yamashita, Hiroshi; Matsubara, Jun; Oshima, Kunio; Kuroda, Hideaki; Shimizu, Satoshi; Tanaka, Tatsuyoshi; Taira, Shinichi; Kondo, Kazumi; Takahashi, Haruka; Fukushima, Tae; Sakurai, Yohji
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 312pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008047883	A1	20080424	WO 2007-JP70386	20071012

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

JP 2008115175	A	20080522	JP 2007-267174	20071012

PRIORITY APPLN. INFO.: JP 2006-280002 A 20061013
JP 2006-280030 A 20061013

OTHER SOURCE(S): MARPAT 148:495981
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:447431 CAPLUS
DOCUMENT NUMBER: 148:426742
TITLE: Preparation of indole derivatives for use as DPP-IV inhibitors
INVENTOR(S): Maddaford, Adrian; Glen, Rebecca; Leese, David Paul; Hart, Terance William
PATENT ASSIGNEE(S): Peakdale Molecular Limited, UK
SOURCE: PCT Int. Appl., 48pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008040974	A1	20080410	WO 2007-GB3758	20071004

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2006-19906 A 20061007
GB 2006-24719 A 20061212

OTHER SOURCE(S): MARPAT 148:426742
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1145534 CAPLUS
DOCUMENT NUMBER: 147:448797
TITLE: Preparation of aminopyrrolidine derivatives as MC4 receptor antagonists for treatment of depression, anxiety disorder, etc.
INVENTOR(S): Okubo, Taketoshi; Kumagai, Toshihito; Ishii, Takaaki; Nakamura, Toshio; Abe, Kumi; Amada, Yuri; Ishizaka, Tomoko; Sun, Xiang-Min; Sekiguchi, Yoshinori; Sasako, Shigetada; Shimizu, Takanori; Nagatsuka, Takayuki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 230pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007114323	A1	20071011	WO 2007-JP57054	20070330
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2003131	A1	20081217	EP 2007-740490	20070330
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.: JP 2006-102744 A 20060404
WO 2007-JP57054 W 20070330

OTHER SOURCE(S): MARPAT 147:448797
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:730896 CAPLUS
DOCUMENT NUMBER: 147:143468
TITLE: Heterocyclic derivatives as modulators of ion channels and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Wilson, Dean; Fanning, Lev T. D.; Sheth, Urvi; Martinborough, Esther; Termin, Andreas; Neubert, Timothy; Zimmermann, Nicole; Knoll, Tara; Whitney, Tara; Kawatkar, Aarti; Lehsten, Danielle; Stamos, Dean; Zhou, Jinglan; Arumugam, Vijayalaksmi; Gutierrez, Corey
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 369pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007075895	A2	20070705	WO 2006-US48802	20061221
WO 2007075895	A3	20071129		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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AU 2006331608	A1	20070705	AU 2006-331608	20061221
CA 2633653	A1	20070705	CA 2006-2633653	20061221
US 20080027067	A1	20080131	US 2006-643622	20061221
EP 1963281	A2	20080903	EP 2006-845951	20061221
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
MX 2008008204	A	20080715	MX 2008-8204	20080620
IN 2008KN02697	A	20090123	IN 2008-KN2697	20080703
KR 2008081178	A	20080908	KR 2008-717835	20080721
NO 2008003220	A	20080922	NO 2008-3220	20080721

PRIORITY APPLN. INFO.: US 2005-752926P P 20051221
US 2006-791181P P 20060411
US 2006-799797P P 20060512

L9 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
US 2006-839444P P 20060823
WO 2006-US48802 W 20061221

OTHER SOURCE(S): MARPAT 147:143468

L9 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:269508 CAPLUS
DOCUMENT NUMBER: 144:331420
TITLE: Preparation of bicyclic anilide spirolactam cgrp receptor antagonists
INVENTOR(S): Bell, Ian M.; Theberge, Cory R.; Stump, Craig A.; Zhang, Xufang; Gallicchio, Steven N.; Zartman, C. Blair
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006031610	A2	20060323	WO 2005-US32041	20050909
WO 2006031610	A3	20060831		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005285109	A1	20060323	AU 2005-285109	20050909
CA 2579847	A1	20060323	CA 2005-2579847	20050909
EP 1797073	A2	20070620	EP 2005-795448	20050909
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101018781	A	20070815	CN 2005-80030605	20050909
JP 2008512481	T	20080424	JP 2007-531342	20050909
IN 2007DN01493	A	20070803	IN 2007-DN1493	20070223
US 20080096878	A1	20080424	US 2007-662703	20070313

PRIORITY APPLN. INFO.: US 2004-609292P P 20040913
WO 2005-US32041 W 20050909

OTHER SOURCE(S): MARPAT 144:331420

L9 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:902086 CAPLUS
DOCUMENT NUMBER: 141:388753
TITLE: Heterocyclic compound modulators of Tie-2 and other kinases, and therapeutic use
INVENTOR(S): Chen, Jeff; Dalrymple, Lisa; Epshteyn, Sergery; Forsyth, Timothy; Huynh, Tai; Leahy, James; Mann, Grace; Mann, Larry W.; Ridgway, Brian; Sangalang, Joan C.; Takeuchi, Craig
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091480	A2	20041028	WO 2004-US10626	20040408
WO 2004091480	A3	20050811		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004229392	A1	20041028	AU 2004-229392	20040408
CA 2520255	A1	20041028	CA 2004-2520255	20040408
EP 1611123	A2	20060104	EP 2004-759191	20040408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006522813	T	20061005	JP 2006-509755	20040408
US 20060293342	A1	20061228	US 2006-552424	20060705

PRIORITY APPLN. INFO.: US 2003-461471P P 20030409
WO 2004-US10626 A 20040408

OTHER SOURCE(S): MARPAT 141:388753
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L9 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:718536 CAPLUS
DOCUMENT NUMBER: 141:243546
TITLE: Preparation of N-heterocyclyl-substituted amino-thiazole derivatives as protein kinase inhibitors
INVENTOR(S): Alegria, Larry Andrew; Chong, Wesley Kwan Mung; Chu, Shaosong; Duvadie, Rohit Kumar; Li, Lin; Romines, William Henry, III; Yang, Yi
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 307 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074283	A1	20040902	WO 2004-IB433	20040209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2516234	A1	20040902	CA 2004-2516234	20040209
EP 1597256	A1	20051123	EP 2004-709302	20040209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007618	A	20060221	BR 2004-7618	20040209
JP 2006518368	T	20060810	JP 2006-502453	20040209
US 20050101595	A1	20050512	US 2004-783887	20040220
MX 2005008878	A	20051005	MX 2005-8878	20050819

PRIORITY APPLN. INFO.: US 2003-448843P P 20030221
WO 2004-IB433 W 20040209

OTHER SOURCE(S): MARPAT 141:243546
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L9 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:546477 CAPLUS
DOCUMENT NUMBER: 141:89009
TITLE: Synthesis of tryptamine derivatives and intermediates thereof
INVENTOR(S): Berens, Ulrich; Dosenbach, Oliver; Sprenger, Daniel
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056769	A2	20040708	WO 2003-EP50992	20031212
WO 2004056769	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508290	A1	20040708	CA 2003-2508290	20031212
AU 2003299227	A1	20040714	AU 2003-299227	20031212
EP 1572647	A2	20050914	EP 2003-799560	20031212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1729174	A	20060201	CN 2003-80107086	20031212
JP 2006516128	T	20060622	JP 2004-561492	20031212
US 20060058367	A1	20060316	US 2005-539151	20050616
IN 2005CN01638	A	20070622	IN 2005-CN1638	20050719
IN 2007CN05032	A	20080321	IN 2007-CN5032	20071107

PRIORITY APPLN. INFO.:
EP 2002-406128 A 20021220
WO 2003-EP50992 W 20031212
IN 2005-CN1638 A3 20050719

OTHER SOURCE(S): MARPAT 141:89009
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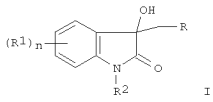
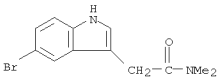
L9 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

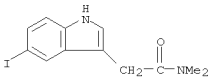


I

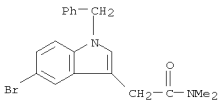
AB Indoleacetates I [R = CO2R3; R1 = (un)substituted alkyl, aryl, heterocyclyl, alkylsulfonyl, OH, SH, NO2, halogen, CN, CONH2, CONHNH2, CO2H, alkenyl, alkynyl, cycloalkyl, acyloxy, NH2, NHNH2, B(OH)2; R2 = H, (un)substituted alkyl, CO2H, arylsulfonyl, alkylsulfonyl, aryl, CONH2, silyl; R3 = (un)substituted alkyl; n = 0-4] were prepared and converted to I [R = CONR4R5; R4, R5 = (un)substituted alkyl; R4R5 = (un)substituted alkylene] which were in turn converted to indoleacetamides and tryptamines. The synthesis methods and products are useful in the synthesis of pharmaceuticals. Thus, 5-bromoisatin was treated with CH2(CO2H)2 and ClCONMe2 to give I [R = CONMe2, R1 = 5-Br, R2 = H] which was treated with BF3.Et2O and BH3.Me2SO to give 2-(5-bromo-1H-indol-3-yl)-N,N-dimethylacetamide or with BF3.Et2O and NaBH4 to give [2-(5-bromo-1H-indol-3-yl)ethyl]-N,N-dimethylacetamide.
IT 717139-79-2P 717139-83-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tryptamine derivs. and intermediates thereof)
RN 717139-79-2 CAPLUS
CN 1H-Indole-3-acetamide, 5-bromo-N,N-dimethyl- (CA INDEX NAME)



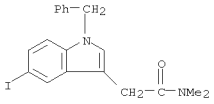
RN 717139-83-8 CAPLUS
CN 1H-Indole-3-acetamide, 5-iodo-N,N-dimethyl- (CA INDEX NAME)



L9 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
IT 717139-80-5P 717139-84-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of tryptamine derivs. and intermediates thereof)
RN 717139-80-5 CAPLUS
CN 1H-Indole-3-acetamide, 5-bromo-N,N-dimethyl-1-(phenylmethyl)- (CA INDEX NAME)



RN 717139-84-9 CAPLUS
CN 1H-Indole-3-acetamide, 5-iodo-N,N-dimethyl-1-(phenylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:525891 CAPLUS
DOCUMENT NUMBER: 141:89110
TITLE: Preparation of piperazinylethylindolecarbonitriles as serotonin reuptake inhibitors and 5-HT1A/5-HT1B receptor ligands.
INVENTOR(S): Heinrich, Timo; Boettcher, Henning; Schiemann, Kai; Hoelzemann, Guenter; van Amsterdam, Christoph; Bartoszyk, Gerd; Leibrock, Joachim; Seyfried, Christoph
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10259244	A1	20040701	DE 2002-10259244	20021217
CA 2510169	A1	20040701	CA 2003-2510169	20031127
WO 2004054972	A1	20040701	WO 2003-EP13374	20031127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003298145	A1	20040709	AU 2003-298145	20031127
EP 1572646	A1	20050914	EP 2003-795848	20031127
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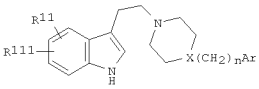
BR 2003017422	A	20051108	BR 2003-17422	20031127
CN 1729173	A	20060201	CN 2003-80106737	20031127
JP 2006511522	T	20060406	JP 2004-559727	20031127
MX 2005006385	A	20050829	MX 2005-6385	20050614
US 20060122191	A1	20060608	US 2005-539516	20050617
ZA 2005005684	A	20060426	ZA 2005-5684	20050714

PRIORITY APPLN. INFO.:
DE 2002-10259244 A 20021217
WO 2003-EP13374 W 20031127

OTHER SOURCE(S): MARPAT 141:89110
GI



I

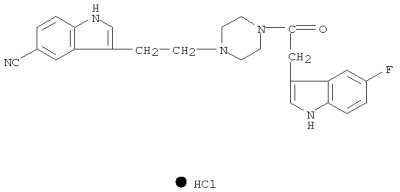
L9 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

AB Title compds. [I; R11, R111 = H, cyano, halo, A, OA, OH, COR2, CH2R2; R2 = OH, OA, NH2, NHA, NA2; A = (fluoro-substituted) alkyl optionally interrupted by O, S, CH:CH; Ar = (partially or completely saturated) (substituted) mono- or polycyclic carbo- or heterocyclyl; n = 0-4], were prepared Thus, 3-(2-chloroeth-1-yl)-1H-indole-5-carbonitrile (preparation given), 1-(2,3-dihydrobenzo[1,4]-dioxin-5-yl)piperazine, ethyldiisopropylamine, and N-methylpyrrolidinone were heated together at 120° for 12 h to give 3-[2-[4-(2,3-dihydrobenzo[1,4]dioxin-5-yl)piperazin-1-yl]ethyl]-1H-indole-5-carbonitrile. The latter showed SSRI, 5-HT1A, and 5-HT1B receptor activity at 11 nM, 17 nM, and 11 nM, resp.

IT 714954-07-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylethylindolecarbonitriles as serotonin reuptake inhibitors and receptor ligands)

RN 714954-07-1 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[2-[4-[2-(5-fluoro-1H-indol-3-yl)acetyl]-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L9 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

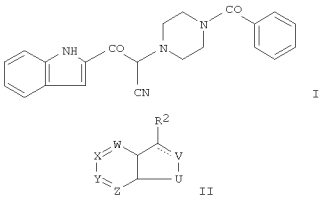
ACCESSION NUMBER: 2002:832569 CAPLUS
DOCUMENT NUMBER: 137:337880
TITLE: Preparation of indole, azaindole, and related heterocyclic piperazinecarboxamides for treatment of AIDS
INVENTOR(S): Wang, Tao; Wallace, Owen B.; Meanwell, Nicholas A.; Zhang, Zhongxing; Bender, John A.; Kadow, John F.; Yeung, Kap-Sun
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085301	A2	20021031	WO 2002-US12856	20020423
WO 2002085301	A3	20030227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030096825	A1	20030522	US 2002-127256	20020422
US 6825201	B2	20041130		
CA 2445190	A1	20021031	CA 2002-2445190	20020423
AU 2002307505	A1	20021105	AU 2002-307505	20020423
EP 1381366	A2	20040121	EP 2002-764315	20020423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002009153	A	20040720	BR 2002-9153	20020423
CN 1520295	A	20040811	CN 2002-812629	20020423
CN 1330307	C	20070808		
JP 2004527538	T	20040909	JP 2002-582877	20020423
HU 2004001503	A2	20041228	HU 2004-1503	20020423
MX 2003009680	A	20040212	MX 2003-9680	20031022
AU 2007237294	A1	20071220	AU 2007-237294	20071130

PRIORITY APPLN. INFO.: US 2001-286347P P 20010425
AU 2002-307505 A3 20020423
WO 2002-US12856 W 20020423

OTHER SOURCE(S): MARPAT 137:337880
GI

L9 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

II

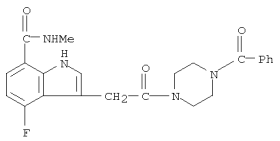
AB This invention provides indole, azaindole, and related heterocyclic piperazinecarboxamides Q(C(O))m(CR8R8')n(C(O))pTC(O)A (1; variables defined below; e.g. N-(benzoyl)-N'-[2-(indol-2-yl)-2-oxo-1-cyanoethyl]piperazine (shown as I)) having drug and bio-affecting properties, their pharmaceutical compns. and method of use. These compds. possess unique antiviral activity, whether used alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors. More particularly, the present invention relates to the treatment of HIV and AIDS. EC50 ranges against HIV-1 are given for about 30 of the claimed compds.; for example, N-(benzoyl)-N'-[2-(6-methoxyindol-2-yl)-2-oxo-1-cyanoethyl]-3-methylpiperazine has an EC50 <1μM. Although the methods of preparation are not claimed, 32 example prepns. of 1 and 6 example prepns. of intermediates are included. In 1: Q is shown as II (dotted line may be a bond); A is C1-6alkoxy, C1-6alkyl, C3-7cycloalkyl, Ph, and heteroaryl; T is piperazine-1,4-diyl; U is NR7, O, or S; V is C(H)kR1, O or N(R7)k; W is CR3 or NR10; X is CR4 or NR10; Y is CR5 or NR10; Z is CR6 or NR10; k is 0 or 1; m, n, and p are 0-2 provided that the sum of m, n, and p must equal 1 or 2; R8 and R8 are H, hydroxy, C1-6alkyl, C1-6alkoxy, cyano, and fluoro, or R8 and R8' taken together form :O, :S, :NOR9, or :NH; other variables and provisos are given in the claims.

IT 474012-42-5P, 3-[2-(4-Benzoylpiperazin-1-yl)-2-oxoethyl]-4-fluoro-1H-indole-7-carboxylic acid methylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indole, azaindole, and related heterocyclic piperazinecarboxamides for treatment of AIDS)

RN 474012-42-5 CAPLUS

CN 1H-Indole-7-carboxamide, 3-[2-(4-benzoyl-1-piperazinyl)-2-oxoethyl]-4-fluoro-N-methyl- (CA INDEX NAME)

L9 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

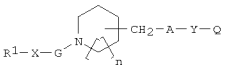


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:113840 CAPLUS
DOCUMENT NUMBER: 136:167283
TITLE: Preparation of acetylpiperidinebutanediamines as calcium ion-permeable AMPA receptor antagonists
INVENTOR(S): Mimura, Tetsuya; Kawajiri, Shinichi
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 93 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002047272	A	20020212	JP 2000-225300	20000726
PRIORITY APPLN. INFO.:			JP 2000-225300	20000726

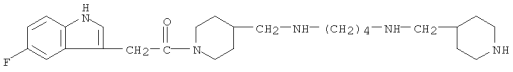
OTHER SOURCE(S): MARPAT 136:167283
GI



I

AB The compds. I (R1 = aryl, arylcarbonyl, aryloxy, cycloalkyl heterocyclyl, etc.; X = single bond, (un)substituted alkyl, alkenyl, cycloalkyl, monocyclic heterocyclyl; G = CO, SO2; n = 0-3; A = NR2, O, S, single bond;
R2 = H, alkyl, OH; Y = alkylene, alkynylene, alkenylene; Q = NR3R4, OR5, SR5; R3, R4 = H, alkyl, cycloalkyl, aralkyl, etc.; R5 = alkyl, cycloalkyl,
aryl, heterocyclyl, etc.), their salts, and solvates are prepared The compds. are useful for cerebral infarction, senile dementia, Alzheimer's, disease, Parkinson's disease, and Huntington's disease. Cyclohexanol was reacted with with oxalyl chloride in the presence of DMSO and Et3N in CH2Cl2 at -78° for 30 min and reacted with 4-[N-(4-aminobutyl)-N-(tert-butoxycarbonyl)aminomethyl]-1-(1-naphthylacetyl)piperidine for 1 h to give 82% N-(tert-butoxycarbonyl)-N'-cyclohexylmethyl-N-[1-(1-naphthylacetyl)piperidin-4-ylmethyl]-1,4-butanediamine, which was treated with HCl in EtOH at room temperature for 5 h to give N-cyclohexylmethyl-N'-[1-(1-naphthylacetyl)piperidin-4-ylmethyl]-1,4-butanediamine hydrochloride showing good AMPA receptor blocking activity in vitro.
IT 396071-91-3P 396071-92-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

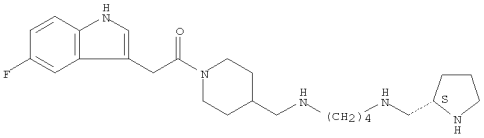
L9 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(prepn. of acetylpiperidinebutanediamines as calcium ion-permeable AMPA
receptor antagonists)
RN 396071-91-3 CAPLUS
CN Ethanone, 2-(5-fluoro-1H-indol-3-yl)-1-[4-[[[4-[(4-piperidinylmethyl)amino]butyl]amino]methyl]-1-piperidinyl]-, hydrochloride
(1:3) (CA INDEX NAME)



●3 HCl

RN 396071-92-4 CAPLUS
CN Ethanone, 2-(5-fluoro-1H-indol-3-yl)-1-[4-[[[4-[[(2S)-2-pyrrolidinylmethyl]amino]butyl]amino]methyl]-1-piperidinyl]-, hydrochloride (1:3) (CA INDEX NAME)

Absolute stereochemistry.



●3 HCl

L9 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:868447 CAPLUS
DOCUMENT NUMBER: 136:5917
TITLE: Preparation of (hetero)arylacyl-piperidinyl-benzylamines for use as tryptase inhibitors
INVENTOR(S): Astles, Peter C.; Eastwood, Paul R.; Houille, Olivier;
Levell, Julian; Pauls, Heinz; Czekaj, Mark; Liang, Guyan; Gong, Yong; Pribish, James; Neuenschwander, Kent
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 267 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090101	A1	20011129	WO 2001-US13811	20010427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20030187020	A1	20031002	US 2001-843126	20010426
US 6977263	B2	20051220		
CA 2409827	A1	20011129	CA 2001-2409827	20010427
EP 1296972	A1	20030402	EP 2001-930925	20010427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011206	A	20030415	BR 2001-11206	20010427
HU 2003002485	A2	20031229	HU 2003-2485	20010427
HU 2003002485	A3	20070928		
JP 2004510697	T	20040408	JP 2001-586288	20010427
CN 1230431	C	20051207	CN 2001-811952	20010427
CN 1740169	A	20060301	CN 2005-10106304	20010427
AU 2001257413	B2	20070118	AU 2001-257413	20010427
MX 2002011400	A	20030523	MX 2002-11400	20021119
IN 2002CN01892	A	20050211	IN 2002-CN1892	20021120
NO 2002005601	A	20030106	NO 2002-5601	20021121
ZA 2002009484	A	20040223	ZA 2002-9484	20021121
KR 858642	B1	20080917	KR 2002-715683	20021121
HK 1057899	A1	20060728	HK 2004-100765	20040206
US 20050228018	A1	20051013	US 2005-57809	20050214
PRIORITY APPLN. INFO.:			GB 2000-12362 A	20000522
			US 2001-843126 A	20010426
			CN 2001-811952 A3	20010427
			WO 2001-US13811 W	20010427

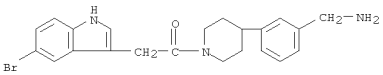
L9 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 136:5917
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Ar = (hetero)aryl, where the two groups on the Ar ring are β to each other; R1-2 = H, alkyl; R3 = (un)substituted(hetero)aryl, arylalkenyl, cycloalkenyl, cycloalkyl, etc.; R4 = H, acyl, alkoxy, alkyloxycarbonyl, carboxy, CN, halo, etc.; n = 0 - 4] were prepared Over 300 synthetic examples were disclosed. For instance,
3-bromobenzylbromide was converted in two steps to boronate II. II was coupled to the triflate ester derivative of the enol of 4-oxo-N-benzyloxycarbonylpiperidine (DMF, K2CO3, PdCl2(dppf)•CH2Cl2, 80°C, 18 h) to give the corresponding bicyclic intermediate. This intermediate was deprotected and reduced to the piperidine (EtOH, 10% Pd-C/H2, room temperature, 5 h) and coupled to 5-phenethylthiophene-2-carboxylic
acid (DMF, HAPyU, iPr2NEt, room temperature, 18 h) to give III. III had Ki = 50
nM for tryptase. I are useful in the treatment of e.g., asthma and inflammatory diseases.
IT 375851-79-9P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of (hetero)arylacyl-piperidinyl-benzylamines for use as
tryptase inhibitors)
RN 375851-79-9 CAPLUS
CN Ethanone, 1-[4-[3-(aminomethyl)phenyl]-1-piperidinyl]-2-(5-bromo-1H-indol-3-yl)-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 375851-78-8
CMF C22 H24 Br N3 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

L9 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

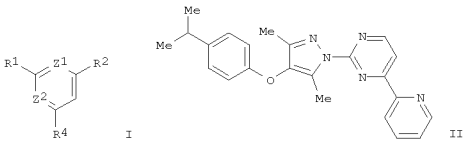
L9 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:851126 CAPLUS
DOCUMENT NUMBER: 135:371760
TITLE: Preparation of pyrazolylpyrimidines and analogs as TNF-α signaling modulators
INVENTOR(S): Sneddon, Scott F.; Kane, John L.; Hirth, Bradford H.; Vinick, Fred; Qiao, Shuang; Nahill, Sharon R.
PATENT ASSIGNEE(S): Genzyme Corporation, USA
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087849	A2	20011122	WO 2001-US15027	20010510
WO 2001087849	A3	20020606		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2408408	A1	20011122	CA 2001-2408408	20010510
US 20020119988	A1	20020829	US 2001-852965	20010510
US 6969728	B2	20051129		
EP 1294699	A2	20030326	EP 2001-933253	20010510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003533515	T	20031111	JP 2001-584245	20010510
BR 2001011158	A	20040406	BR 2001-11158	20010510
AU 2001259691	B2	20060216	AU 2001-259691	20010510
MX 2002010993	A	20030310	MX 2002-10993	20021108
NO 2002005405	A	20030109	NO 2002-5405	20021111
NO 324693	B1	20071203		
KR 840816	B1	20080623	KR 2002-715152	20021112
US 20040171617	A1	20040902	US 2004-797244	20040310
US 7034031	B2	20060425		
US 20060173010	A1	20060803	US 2005-292325	20051201

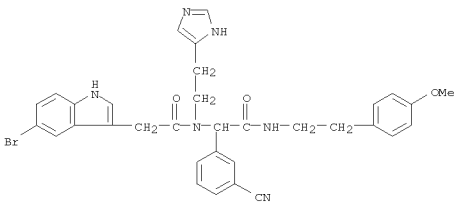
PRIORITY APPLN. INFO.:
US 2000-203784P P 20000512
US 2000-205213P P 20000518
US 2001-852965 A3 20010510
WO 2001-US15027 W 20010510
US 2004-797244 A1 20040310

OTHER SOURCE(S): MARPAT 135:371760

L9 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
GI

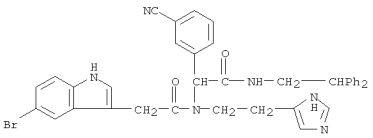


AB Title compds. [I; R1 = H or NH2; R2 = ZZ3(CH2)nR; R = (un)substituted Ph or -heterocyclyl; R4 = (alkyl-substituted) 2-pyridinyl or -pyrazinyl; Z = (un)substituted pyrazole-1,4-diyl; Z1,Z2 = N or CH; Z3 = O, CH2, S, SO2; n = 0-2] were prepared Thus, 4-(Me2HC)C6H4OH was condensed with (MeCO)2CHN2 and the product cyclocondensed with 4-(2-pyridinyl)-2-pyrimidinylhydrazine to give title compound II. Data for biol. activity of I were given.
IT 374080-55-4P 374080-62-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolylpyrimidines and analogs as TNF-α signaling modulators)
RN 374080-55-4 CAPLUS
CN 1H-Indole-3-acetamide, 5-bromo-N-[1-(3-cyanophenyl)-2-[[2-(4-methoxyphenyl)ethyl]amino]-2-oxoethyl]-N-[2-(1H-imidazol-5-yl)ethyl]- (CA INDEX NAME)



RN 374080-62-3 CAPLUS
CN 1H-Indole-3-acetamide, 5-bromo-N-[1-(3-cyanophenyl)-2-[(2,2-diphenylethyl)amino]-2-oxoethyl]-N-[2-(1H-imidazol-5-yl)ethyl]- (CA INDEX

L9 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L9 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:762989 CAPLUS
DOCUMENT NUMBER: 135:318419
TITLE: Synthesis of substituted bipiperidines and their use as H1 antagonists
INVENTOR(S): Lawrence, Louise; Rigby, Aaron; Sanganee, Hitesh; Springthorpe, Brian
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

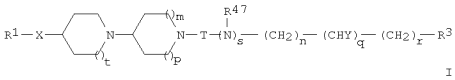
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077101	A1	20011018	WO 2001-SE751	20010405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2403012	A1	20011018	CA 2001-2403012	20010405
EP 1274701	A1	20030115	EP 2001-920053	20010405
EP 1274701	B1	20050629		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009922	A	20030218	BR 2001-9922	20010405
CN 1433411	A	20030730	CN 2001-810683	20010405
CN 1244576	C	20060308		
JP 2003530393	T	20031014	JP 2001-575574	20010405
NZ 521543	A	20041029	NZ 2001-521543	20010405
EP 1493743	A1	20050105	EP 2004-20599	20010405
EP 1493743	B1	20080903		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR				
AT 298748	T	20050715	AT 2001-920053	20010405
CN 1660839	A	20050831	CN 2004-10102245	20010405
AU 2001246997	B2	20070329	AU 2001-246997	20010405
AT 407131	T	20080915	AT 2004-20599	20010405
US 20020077337	A1	20020620	US 2001-827488	20010406
US 6525070	B2	20030225		
ZA 2002007700	A	20040102	ZA 2002-7700	20020925
NO 2002004774	A	20021129	NO 2002-4774	20021003
MX 2002009885	A	20030327	MX 2002-9885	20021007
US 20040006080	A1	20040108	US 2003-341027	20030113
US 6903115	B2	20050607		
US 20040014783	A1	20040122	US 2003-436582	20030513
US 7238811	B2	20070703		
HK 1051193	A1	20051028	HK 2003-103424	20030514

L9 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

US 20050171092	A1	20050804	US 2005-76773	20050310
US 7179922	B2	20070220		
US 20070179297	A1	20070802	US 2007-732411	20070403

PRIORITY APPLN. INFO.:
GB 2000-8626 A 20000408
GB 2000-19111 A 20000803
SE 2000-3664 A 20001011
CN 2001-810683 A3 20010405
EP 2001-920053 A3 20010405
WO 2001-SE751 W 20010405
US 2001-827488 A3 20010406
US 2003-341027 A1 20030113
US 2003-436582 A3 20030513

OTHER SOURCE(S): MARPAT 135:318419
GI



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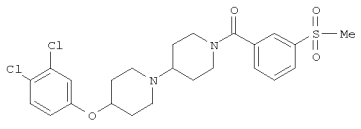


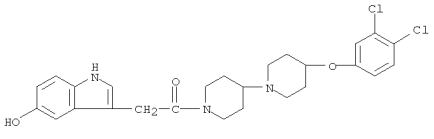
II

AB Title compds. I [q, s, t = 0 - 1; n, r = 0 - 5; m, p = 0 - 2; X = CH, C(O), O, S, S(O), S(O), N-; provided that when m and p are both 1 then X is not CH; Y = NHR2, OH; T = C(O), C(S), S(O), CH2; R1 = H, alkyl, aryl, heterocyclyl; R2, R47 = H, alkyl, aryl-alkyl, CO-alkyl; R3 = alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, thioaryl,

L9 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
thioheterocyclyl] were prepd. Examples include: data for over 600 compds., 4 solid oral dosage and 1 parenteral (general) formulations, a bioassay for Ca2+ flux, human eosinophil chemotaxis and H1 antagonism. E.g., 4-(3,4-dichlorophenoxy)piperidine was alkylated with 1-(tert-butoxycarbonyl)-4-piperidone (1,2-dichloroethane, NaBH(OAc)3, HOAc, 18 h, room temp.) to give an intermediate [1,4']bipiperidine. This intermediate was deprotected (DCM, TFA, 4 h, room temp.) and the resulting bipiperidine condensed with 3-methanesulfonylbenzoic acid (THF, PYBROP, (i-Pr)2NEt, 18 h, room temp.) to give example compd. II isolated as the acetate salt. I are used in the treatment of a chemokine (such as CCR3) or H1 mediated disease state.

IT 367497-01-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis of substituted bipiperidines and use as H1 antagonists)

RN 367497-01-6 CAPLUS
CN Ethanone, 1-[4-(3,4-dichlorophenoxy)[1,4'-bipiperidin]-1'-yl]-2-(5-hydroxy-1H-indol-3-yl)- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

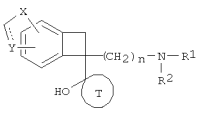
L9 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:760046 CAPLUS
DOCUMENT NUMBER: 135:303899
TITLE: Synthesis of heterocycloalkylbenzocyclobutanes and heteroarylbenzocyclobutanes and their use as inhibitors of serotonin and noradrenaline reuptake
INVENTOR(S): Peglion, Jean-Louis; Dessinges, Aimee; Goument, Bertrand; Millan, Mark; Lejeune, Francoise; Brocco, Mauricette
PATENT ASSIGNEE(S): Adir Et Compagnie, Fr.; Servier Lab
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1146041	A1	20011017	EP 2001-400940	20010412
EP 1146041	B1	20031112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2807753	A1	20011019	FR 2000-4742	20000413
FR 2807753	B1	20020607		
MX 2001003553	A	20020604	MX 2001-3553	20010406
JP 2001302599	A	20011031	JP 2001-111169	20010410
JP 3761796	B2	20060329		
NO 2001001862	A	20011015	NO 2001-1862	20010411
NO 318158	B1	20050207		
BR 2001001444	A	20011204	BR 2001-1444	20010411
ZA 2001003065	A	20011018	ZA 2001-3065	20010412
US 20020019380	A1	20020214	US 2001-833827	20010412
US 6420413	B2	20020716		
HU 2001001503	A2	20020529	HU 2001-1503	20010412
HU 2001001503	A3	20030228		
NZ 511092	A	20021025	NZ 2001-511092	20010412
AT 254102	T	20031115	AT 2001-400940	20010412
PT 1146041	T	20040331	PT 2001-400940	20010412
ES 2210104	T3	20040701	ES 2001-400940	20010412
AU 777825	B2	20041104	AU 2001-35187	20010412
CN 1323794	A	20011128	CN 2001-116386	20010413
CN 1166659	C	20040915		
CA 2344255	A1	20011013	CA 2001-2344255	20010417
CA 2344255	C	20060711		
HK 1042477	A1	20050506	HK 2002-102196	20020322

PRIORITY APPLN. INFO.:
FR 2000-4742 A 20000413

OTHER SOURCE(S): MARPAT 135:303899
GI

L9 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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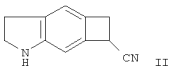
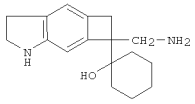


II



III

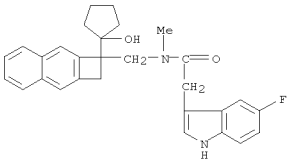
AB Title compds. I [n = 1 - 6; R1-2 = H, alkyl, aryl, arylalkyl, cycloalkyl(alkyl), alkenyl, alkynyl, heterocyclyl, etc.; X = CH:CH, O, SO0-2, NR3; Y = CH/CH2; T = cycloalkyl (mono or polycyclic), heterocyclyl] were prepared Forty example compds. were disclosed. E.g., 6-cyano-1-methylsulfonyl-5,6-dihydrocyclobuta[f]indole (preparation given) was desulfonylated (K, MeOH, reflux, 12 h) and converted to tetrahydro derivative II (HOAc, NaCNBH3, room temperature, 2 h). II was alkylated with cyclohexanone (THF, n-BuLi, -80°C) and the resulting nitrile reduced to aminomethyl derivative III (MeOH, H2-Ra/Ni, 30 bar, 60°C, 24 h). In competitive binding assays, compds. of the invention showed affinity for serotonin reuptake binding sites, pKi > 7 and noradrenaline reuptake binding sites, pKi ≥ 6. I are used to treat depression, panic attacks, anxiety, obesity, etc.

IT 367263-60-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of heterocycloalkylbenzocyclobutanes and heteroarylbenzocyclobutanes and their use as inhibitors of serotonin and noradrenaline reuptake)

RN 367263-60-3 CAPLUS

CN 1H-Indole-3-acetamide, N-[[1,2-dihydro-1-(1-hydroxycyclopentyl)cyclobuta[b]naphthalen-1-yl]methyl]-5-fluoro-N-methyl- (CA INDEX NAME)

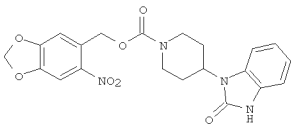
L9 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:667283 CAPLUS
DOCUMENT NUMBER: 136:179
TITLE: From Hit to Lead. Combining Two Complementary Methods for Focused Library Design. Application to μ Opiate Ligands
AUTHOR(S): Poulain, Rebecca; Horvath, Dragos; Bonnet, Beatrice; Eckhoff, Christian; Chapelain, Beatrice; Bodinier, Marie-Christine; Deprez, Benoit
CORPORATE SOURCE: Department of Chemistry, CEREP, Lille, F-59000, Fr.
SOURCE: Journal of Medicinal Chemistry (2001), 44(21), 3378-3390
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:179
GI



I

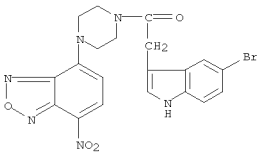
AB Compound I obtained by random screening and displaying a micromolar activity on the μ opiate receptor was chosen as a starting point for optimization. Two complementary concepts of similarity were used for the design of analogs and compared. These are based, resp., on a computer-aided comparison of pharmacophoric patterns and on topol. similarity. The structure-activity relationships are discussed in light of both similarity concepts. An N-methyl-3-(4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]decyl)acetamide derivative, designed by combining the structure-activity relationships enlightened by each method, has a subnanomolar affinity for μ (h) receptor (IC50 = 0.9 nM). It is a promising lead, allowing the design of a new series of analogs substituted at the N-3 of the spirocycle moiety.

IT 372956-13-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(combining two complementary methods for focused library design and application to μ opiate ligands)

RN 372956-13-3 CAPLUS

CN Ethanone, 2-(5-bromo-1H-indol-3-yl)-1-[4-(7-nitro-2,1,3-benzoxadiazol-4-yl)-1-piperazinyl]- (CA INDEX NAME)

L9 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



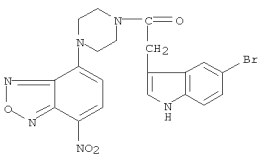
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:662562 CAPLUS
DOCUMENT NUMBER: 135:352346
TITLE: From Hit to Lead. Analyzing Structure-Profile Relationships
AUTHOR(S): Poulain, Rebecca; Horvath, Dragos; Bonnet, Beatrice; Eckhoff, Christian; Chapelain, Beatrice; Bodinier, Marie-Christine; Deprez, Benoit
CORPORATE SOURCE: Department of Chemistry, CEREP, Lille, F-59000, Fr.
SOURCE: Journal of Medicinal Chemistry (2001), 44(21), 3391-3401
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Two compds., (piperidine and piperazine carboxylic acid derivs.) obtained by random screening, and displaying micromolar activities on the μ opiate receptor were used as starting points for optimization. In that work, the traditional concept of the activity of a compound (related to one or a few targets) was extended to the comprehensive pharmacol. profile of that compound on more than 70 receptors, transporters, and channels relevant to a CNS-oriented project. Using the two complementary design strategies based on two similarity concepts described in the previous paper, we have obtained analogs with IC50 values ranging between 0.9 nM and a few micromolar on the μ receptor and displaying qual. different profiles. We discuss here, both on a case-by-case basis and from a statistical standpoint, the pharmacol. profiles in light of the two similarity concepts.

IT 372956-13-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(piperidine- and piperazine carboxylic acid derivative opioid receptor structure-activity relationship, and compound preparation)

RN 372956-13-3 CAPLUS
CN Ethanone, 2-(5-bromo-1H-indol-3-yl)-1-[4-(7-nitro-2,1,3-benzoxadiazol-4-yl)-1-piperazinyl]- (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS

L9 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:565002 CAPLUS
DOCUMENT NUMBER: 135:152713
TITLE: Aromatic amides as novel melanocortin receptor agonists and antagonists
INVENTOR(S): Lundstedt, Torbjoern; Skottner, Anna; Seifert, Elisabeth; Starchenkov, Igor; Trapencieris, Peteris; Kauss, Valerjans; Kalvins, Ivars; Boman, Arne
PATENT ASSIGNEE(S): Melacure Therapeutics AB, Swed.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

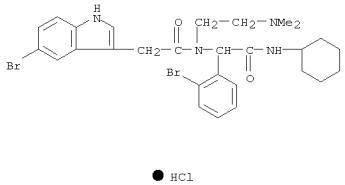
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055106	A2	20010802	WO 2001-GB346	20010129
WO 2001055106	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2398728	A1	20010802	CA 2001-2398728	20010129
BR 2001007893	A	20021105	BR 2001-7893	20010129
EP 1254114	A2	20021106	EP 2001-946850	20010129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003520850	T	20030708	JP 2001-555048	20010129
ZA 2002005886	A	20040621	ZA 2002-5886	20020723
MX 2002007289	A	20030922	MX 2002-7289	20020726
US 20030195212	A1	20031016	US 2002-182192	20021120
PRIORITY APPLN. INFO.:			GB 2000-1948 A	20000128
			GB 2000-2060 A	20000128
			WO 2001-GB346 W	20010129

OTHER SOURCE(S): MARPAT 135:152713

AB The present invention relates to novel aromatic amides (I; B-E-X-N(R8)-C(O)-Y-F-A and pharmacol. active salts thereof) and to the use of these amides for the treatment of obesity, anorexia, inflammation, mental disorders and other diseases associated with the melanocortin receptors or related systems, e.g. the melanocyte stimulating hormones. In I: E and F are independently a saturated or unsatd., acyclic hydrocarbon group having 1-5 C atoms. X and Y are independently methylene; one of X and Y are absent (i.e. a single bond); or X can be -CH(QR10)- and/or Y can be -CH(MR9)- (M and Q are independently a saturated or unsatd., straight or branched chain acyclic hydrocarbon group with 1-6 C atoms; or M and/or Q are absent (i.e. M and/or Q are single bonds)). R8, R9 and R10 are H, -PR4, -C(O)DR4 (P and D are independently a satd. or unsatd., straight or branched chain acyclic hydrocarbon group having 1-6 C atoms; or D is absent (i.e. D is a single bond)). R4 is hydroxy, Me, cyclohexyl, cyclopentyl, aminoguanidine, guanidine, carboxy, or (possibly substituted) amino, carbamoyl, alkoxy, alkoxycarbonyl, acyl, morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, Ph, isoindolyl, indenyl, pyridinyl, indolyl, pyrrolyl, cyclopentadienyl wherein R4 in R8, R9 and R10 may be the same or different. A and B are the same or different and are (possibly substituted) quinolinyl, isoquinolinyl, isoindolyl, naphthyl, pyridinyl, indolyl, pyrazinyl, cyclopentadienyl, pyrimidinyl, Ph, indenyl. Several claimed compds. (N-(3-aminopropyl)-3-(1H-indol-3-yl)-2-(2-naphthalen-1-ylacetylamino)propionamide hydrochloride (1:1.2), N-[1-[benzyl(4-guanidinobutyl)carbamoyl]-2-(1H-indol-3-yl)ethyl]-4-phenylbutyramide monohydrochloride, N-benzyl-N-(4-guanidinobutyl)-3-(1H-indol-3-yl)-2-(2-naphthalen-2-ylacetylamino)propionamide monohydrochloride, N-[1-(9-ethyl-9H-carbazol-3-ylcarbamoyl)-2-(1H-indol-3-yl)ethyl]-4-guanidinobutyramide monohydrochloride, 4-amino-N-[1-(9-ethyl-9H-carbazol-3-ylcarbamoyl)-2-(1H-indol-3-yl)ethyl]butyramide monohydrochloride, 2-(3-aminopropionylamino)-N-(9-ethyl-9H-carbazol-3-yl)-3-(1H-indol-3-yl)propionamide monohydrochloride) were tested (results given) for affinity for melanocortin receptors (MC1, MC3, MC4, MC5) and/or influence on cAMP. In vivo effects on food intake and anti-inflammatory effects were also detd. on selected compds. Two example prepns. are given.

IT 352277-28-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aromatic amides as novel melanocortin receptor agonists and antagonists and their preparation)

RN 352277-28-2 CAPLUS
CN 1H-Indole-3-acetamide, 5-bromo-N-[1-(2-bromophenyl)-2-(cyclohexylamino)-2-oxoethyl]-N-[2-(dimethylamino)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



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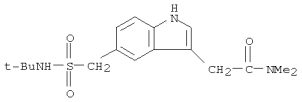
L9 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

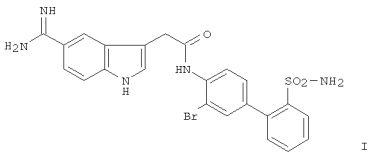
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L9 ANSWER 22 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:83714 CAPLUS
DOCUMENT NUMBER: 134:311061
TITLE: Synthesis of 5-(sulfamoylmethyl)indoles
AUTHOR(S): Bosch, J.; Roca, T.; Armengol, M.; Fernandez-Forner, D.
CORPORATE SOURCE: Laboratory of Organic Chemistry, Faculty of Pharmacy, University of Barcelona, Barcelona, 08028, Spain
SOURCE: Tetrahedron (2001), 57(6), 1041-1048
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:311061
AB The synthesis of 5-(sulfamoylmethyl)indoles bearing a two-carbon chain at C-3 (aminoethyl, acetate, hydroxyethyl, ethyl) either by the Grandberg modification of the Fischer indolization or by intramol. Heck reaction of suitable o-halotrifluoroacetanilides is reported.
IT 334981-21-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-(sulfamoylmethyl)indoles)
RN 334981-21-4 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[[(1,1-dimethylethyl)amino]sulfonyl]methyl]-N,N-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

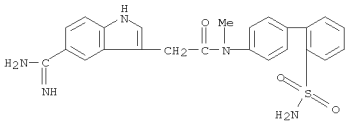
L9 ANSWER 23 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:762637 CAPLUS
DOCUMENT NUMBER: 134:86116
TITLE: Design, Synthesis, and Biological Evaluation of Potent
and Selective Amidino Bicyclic Factor Xa Inhibitors
AUTHOR(S): Han, Qi; Dominguez, Celia; Stouten, Pieter F. W.; Park, Jeongsook M.; Duffy, Daniel E.; Galemmo, Robert A., Jr.; Rossi, Karen A.; Alexander, Richard S.; Smallwood, Angela M.; Wong, Pancras C.; Wright, Matthew M.; Leuttgen, Joseph M.; Knabb, Robert M.; Wexler, Ruth R.
CORPORATE SOURCE: DuPont Pharmaceuticals Company, Wilmington, DE, 19880-0500, USA
SOURCE: Journal of Medicinal Chemistry (2000), 43(23), 4398-4415
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:86116
GI



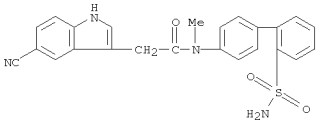
I

AB A novel series of factor Xa (fXa) inhibitors incorporating an amidino 6,5-fused bicyclic moiety, e.g. I (R = Me, F, Cl, Br, etc.), has been designed and synthesized based on mol. modeling studies. Structure-activity relationship (SAR) studies have led to selective subnanomolar fXa inhibitors. The most potent fXa inhibitor in this series
I (R = Br) has a potent inhibition constant (Ki = 0.3 nM), is 350-fold selective for fXa over trypsin, and also shows good in vivo efficacy in a rabbit arterio-venous thrombosis model (ID50 = 0.14 μmol/kg/h). An X-ray crystal structure of I (R = Br) complexed to bovine trypsin was completed, and its binding mode with fXa has been proposed based on modeling with human des-Gla-fXa.
IT 202124-24-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antithrombotic activities of amidino bicyclic factor Xa
inhibitors)
RN 202124-24-1 CAPLUS

L9 ANSWER 23 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 1H-Indole-3-acetamide, 5-(aminoiminomethyl)-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-N-methyl- (CA INDEX NAME)



IT 316364-41-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antithrombotic activities of amidino bicyclic factor Xa
inhibitors)
RN 316364-41-7 CAPLUS
CN 1H-Indole-3-acetamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-5-cyano-N-methyl- (CA INDEX NAME)

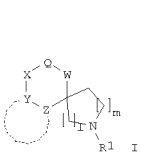


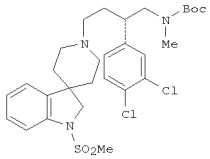
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L9 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:31350 CAPLUS
DOCUMENT NUMBER: 132:78470
TITLE: Preparation of spiro-substituted azacycles as neurokinin antagonists
INVENTOR(S): Maccoss, Malcolm; Mills, Sander G.; Shah, Shrenik K.; Chiang, Yuan-ching P.; Dunn, Patrick T.; Koyama, Hiroo
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 49 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6013652	A	20000111	US 1997-985338	19971204
PRIORITY APPLN. INFO.:			US 1997-985338	19971204

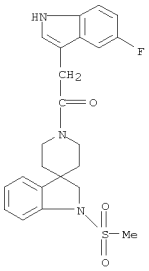
OTHER SOURCE(S): MARPAT 132:78470
GI


I


II

AB The title compds. [I; l, m = 0-5 (with the proviso that l + m = 1-5); R1 = H, alkyl, alkenyl, etc.; W = a bond, (un)substituted alkyl; Q = O, S, SO, SO2, NR2 (with the proviso that when W = a bond and X = alkyl, then Q must be NR2; R2 = H, alkyl, etc.); X = a bond, (un)substituted alkyl, NHCO, etc.; YZ considered together are 2 adjoining atoms of Ph, naphthyl, heteroaryl; the nitrogen in one of the rings is optionally quaternized with alkyl or phenylalkyl or is optionally present as an N-oxide], tachykinin receptor antagonists useful in the treatment of inflammatory diseases, pain or migraine, and asthma, were prepared E.g., a 2-step synthesis of 3-(S)-II was given. In particular compds. I are shown to be neurokinin antagonists, and, e.g., they have been found to displace radioactive ligand for the NK-1 receptor at 0.01 nM to 1.0 μM, for the NK-2 receptor , 0.01 nM to 5 μM, and for the NK-3 receptor, 1.0 nM to 10 μM.

L9 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
IT 167485-09-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of spiro-substituted azacycles as neurokinin antagonists)
RN 167485-09-8 CAPLUS
CN Ethanone, 1-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-(5-fluoro-1H-indol-3-yl)- (CA INDEX NAME)

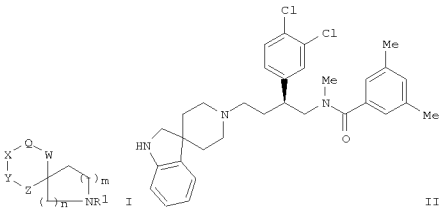


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:635463 CAPLUS
DOCUMENT NUMBER: 131:243191
TITLE: Spiro-substituted azacycles as modulators of chemokine receptor activity
INVENTOR(S): Mills, Sander G.; MacCoss, Malcolm; Springer, Martin S.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 97 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

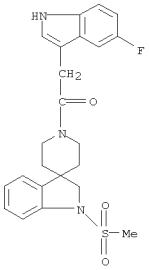
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5962462	A	19991005	US 1997-989947		19971212
PRIORITY APPLN. INFO.:			US 1996-32735P	P	19961213
			US 1996-33558P	P	19961220

OTHER SOURCE(S): MARPAT 131:243191
GI


I II

AB The invention is directed to spiro-substituted azacycles which are useful as modulators of chemokine receptor activity. Specifically, I [R1 = H, (un)substituted alk(en/yn)yl; W = bond, (un)substituted alkylene; Q = (un)substituted NH, O, S, S(O), SO2; X = bond, (un)substituted alkylene, S, S(O), NHCO, OC(O), etc.; YZ = fused aryl or heteroaryl nucleus; m, n = 0 to 5; (m+n) = 1 to 5] were prepared The compds. are useful as modulators of the chemokine receptors CCR-1, CCR-2, CCR-2A, CCR-2B, CCR-3, CCR-4, CCR-5, CXCR-3, and/or CXCR-4 (no data), and are thereby useful as antiinflammatory and immunomodulating agents. Use for the treatment of HIV infection and/or AIDS is claimed specifically. For instance, 1'-methylspiro[indoline-3,4'-piperidine] underwent a sequence of

L9 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
N-benzoyloxycarbonylation (71%), N'-demethylation (73%), reductive N'-alkylation with a corresponding polyfunctional aldehyde, and removal of the benzoyloxycarbonyl protecting group, to give title compd. II.
IT 167485-09-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compound; preparation of spiro-substituted azacycles as modulators of chemokine receptor activity)
RN 167485-09-8 CAPLUS
CN Ethanone, 1-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-(5-fluoro-1H-indol-3-yl)- (CA INDEX NAME)

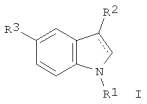


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

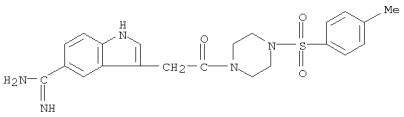
L9 ANSWER 26 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:205361 CAPLUS
DOCUMENT NUMBER: 130:252241
TITLE: Preparation of amidinoindoles and analogs as factor Xa inhibitors
INVENTOR(S): Dominguez, Celia; Han, Qi; Duffy, Daniel Emmett; Park, Jeongsook Maria; Quan, Mimi Lifen; Rossi, Karen Anita; Wexler, Ruth Richmond
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: U.S., 46 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5886191	A	19990323	US 1997-916736	19970818
US 6043257	A	20000328	US 1998-176037	19981021
PRIORITY APPLN. INFO.:			US 1997-916736 A3	19970818

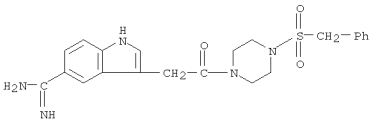
OTHER SOURCE(S): MARPAT 130:252241
GI



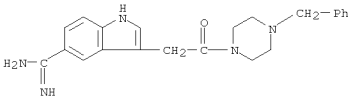
AB Title compds., e.g., I [R1 = H or Me; R2 = (CH2)nZZ1R; R = C(:NH)NH2, CH2Ph, C6H4(SO2NHR4)-2, etc.; R3 = C(:NH)NH2, cyano, etc.; R4 = alkyl; Z = CO, CONH, etc.; Z1 = C6H4, CH2C6H4, pyridine-2,4-diyl, etc.; n = 0 or 1; dashed line = optional addnl. bond] were prepared as factor Xa inhibitors (no data). Thus, 5-cyanoindole was acylated by (COCl)2 and the product converted in 3 steps to 5-cyanoindole-3-acetic acid which was amidated by 4-(2-aminosulfonylphenyl)-2-pyridinamine to give, in 2 addnl. steps, I [R1 = H, R2 = CH2CONHZ1C6H4(SO2NH2)-2, R3 = C(:NH)NH2, Z1 = pyridine-2,4-diyl, dashed line = bond].
IT 202123-90-8P 202123-94-2P 202123-96-4P 202123-97-5P 202123-98-6P 202124-01-4P 202124-04-7P 202124-24-1P 202124-28-5P 202126-86-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amidinoindoles and analogs as factor Xa inhibitors)
RN 202123-90-8 CAPLUS
CN 1H-Indole-5-carboximidamide, 3-[2-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]-2-oxoethyl]- (CA INDEX NAME)



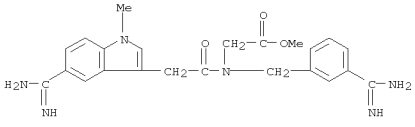
RN 202123-94-2 CAPLUS
CN 1H-Indole-5-carboximidamide, 3-[2-oxo-2-[4-[(phenylmethyl)sulfonyl]-1-piperazinyl]ethyl]- (CA INDEX NAME)



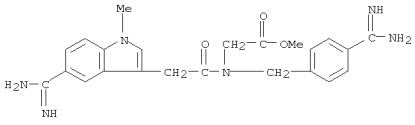
RN 202123-96-4 CAPLUS
CN 1H-Indole-5-carboximidamide, 3-[2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



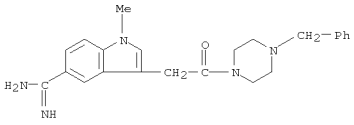
RN 202123-97-5 CAPLUS
CN Glycine, N-[[5-(aminoiminomethyl)-1-methyl-1H-indol-3-yl]acetyl]-N-[[3-(aminoiminomethyl)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



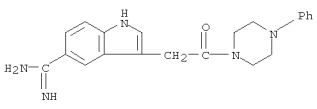
RN 202123-98-6 CAPLUS
CN Glycine, N-[[5-(aminoiminomethyl)-1-methyl-1H-indol-3-yl]acetyl]-N-[[4-(aminoiminomethyl)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



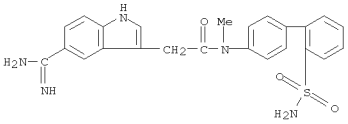
RN 202124-01-4 CAPLUS
CN 1H-Indole-5-carboximidamide, 1-methyl-3-[2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



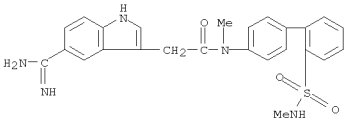
RN 202124-04-7 CAPLUS
CN 1H-Indole-5-carboximidamide, 3-[2-oxo-2-(4-phenyl-1-piperazinyl)ethyl]- (CA INDEX NAME)



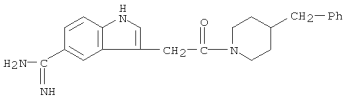
RN 202124-24-1 CAPLUS
RN 202124-28-5 CAPLUS
CN 1H-Indole-3-acetamide, 5-(aminoiminomethyl)-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-N-methyl- (CA INDEX NAME)



RN 202124-28-5 CAPLUS
CN 1H-Indole-3-acetamide, 5-(aminoiminomethyl)-N-methyl-N-[2'-[(methylamino)sulfonyl][1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

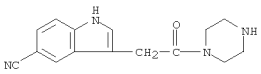


RN 202126-86-1 CAPLUS
CN 1H-Indole-5-carboximidamide, 3-[2-oxo-2-[4-(phenylmethyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



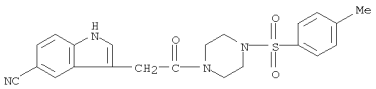
IT 202124-97-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amidinoindoles and analogs as factor Xa inhibitors)
RN 202124-97-8 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[2-oxo-2-(1-piperazinyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

L9 ANSWER 26 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



● HCl

IT 202124-91-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinoindoles and analogs as factor Xa inhibitors)
RN 202124-91-2 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[2-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]-2-oxoethyl]- (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L9 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:96240 CAPLUS
DOCUMENT NUMBER: 130:153571
TITLE: Preparation of indole and 2,3-dihydroindole derivatives as potent serotonin reuptake inhibitors and 5-HT1A receptor antagonists
INVENTOR(S): Moltzen, Ejner Knud; Perregaard, Jens Kristian; Mikkelsen, Ivan; Smith, Garrick Paul
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905140	A1	19990204	WO 1998-DK336	19980720
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9806237	A	19990331	ZA 1998-6237	19980714
CA 2297825	A1	19990204	CA 1998-2297825	19980720
CA 2297825	C	20060314		
AU 9885340	A	19990216	AU 1998-85340	19980720
AU 736596	B2	20010802		
EP 1007523	A1	20000614	EP 1998-936270	19980720
EP 1007523	B1	20031022		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200000231	T2	20000721	TR 2000-231	19980720
BR 9810790	A	20000725	BR 1998-10790	19980720
HU 2000002830	A2	20010928	HU 2000-2830	19980720
HU 2000002830	A3	20011029		
HU 225101	B1	20060628		
NZ 502252	A	20010928	NZ 1998-502252	19980720
JP 2003524571	T	20030819	JP 2000-504136	19980720
IL 133990	A	20030917	IL 1998-133990	19980720
CN 1127501	C	20031112	CN 1998-807554	19980720
AT 252575	T	20031115	AT 1998-936270	19980720
PT 1007523	T	20040227	PT 1998-936270	19980720
ES 2206963	T3	20040516	ES 1998-936270	19980720
CN 1515568	A	20040728	CN 2003-2003106002	19980720
CN 1286833	C	20061129		
CN 1515569	A	20040728	CN 2003-2003106003	19980720
CN 1293075	C	20070103		
CZ 295937	B6	20051214	CZ 2000-285	19980720
SK 284866	B6	20060105	SK 2000-95	19980720
PL 190924	B1	20060228	PL 1998-338194	19980720

L9 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

IN 1998MA01631	A	20050304	IN 1998-MA1631	19980722
MX 2000000700	A	20010131	MX 2000-700	20000120
NO 2000000372	A	20000321	NO 2000-372	20000125
NO 318610	B1	20050418		
US 6476035	B1	20021105	US 2000-491204	20000125
BG 104148	A	20010531	BG 2000-104148	20000210
BG 64904	B1	20060831		
HK 1030220	A1	20041126	HK 2001-101274	20010221
US 20030018050	A1	20030123	US 2002-223046	20020816
US 6727263	B2	20040427		
HK 1066806	A1	20070713	HK 2004-109852	20041213
HK 1066807	A1	20070817	HK 2004-109853	20041213

PRIORITY APPLN. INFO.:

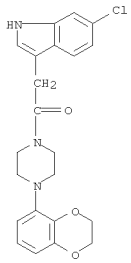
DK 1997-892	A	19970725
US 1997-53713P	P	19970725
WO 1998-DK336	W	19980720
US 2000-491204	A3	20000125

OTHER SOURCE(S): MARPAT 130:153571
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; X = O, S, CR4R5; Y = CR6R7, CR6R7CR8R9, CR6:CR7; XY = CR4:CR5, CR4:CR5CR6R7; Z = O, S; W = N, C, CH; A = II-IV; R1-R3, R11-R17 = H, halo, CF3, etc.; R4-R9 = H, alkyl; R11 = H, alkyl, alkenyl, etc.] and their salts which are potent serotonin reuptake inhibitors and have 5-HT1A receptor antagonistic activity, were prepared Thus, treatment of 5-chloroindole with oxalyl chloride in Et2O followed by reaction of the resulting 2-(5-chloro-1H-indol-3-yl)-2-oxoacetyl chloride with 1-(1,4-benzodioxan-5-yl)piperazine, and then reduction of the intermediate with LiAlH4 in THF afforded V.oxalate which showed IC50 of 5.0 nM against serotonin reuptake.
IT 220251-80-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole and 2,3-dihydroindole derivs. as potent serotonin reuptake inhibitors and 5-HT1A receptor antagonists)
RN 220251-80-9 CAPLUS
CN Ethanone, 2-(6-chloro-1H-indol-3-yl)-1-[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]- (CA INDEX NAME)

L9 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



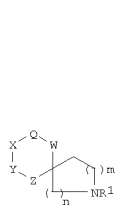
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L9 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:402304 CAPLUS
DOCUMENT NUMBER: 129:81760
ORIGINAL REFERENCE NO.: 129:16885a,16888a
TITLE: Preparation of spiro-substituted azacycles as modulators of chemokine receptor activity
INVENTOR(S): Mills, Sander G.; Springer, Martin S.; MacCoss, Malcolm
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Mills, Sander G.; Springer, Martin S.; MacCoss, Malcolm
SOURCE: PCT Int. Appl., 297 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825605	A1	19980618	WO 1997-US23586	19971212
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9858033	A	19980703	AU 1998-58033	19971212
PRIORITY APPLN. INFO.:			US 1996-32735P P	19961213
			US 1996-33558P P	19961220
			GB 1997-3005 A	19970213
			WO 1997-US23586 W	19971212

OTHER SOURCE(S): MARPAT 129:81760
GI

L9 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)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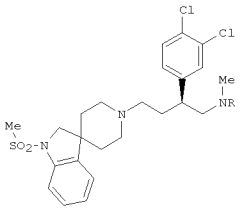
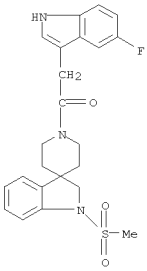

II

AB Spiroazacycles I [R1 = H, alkyl, aminoalkyl, arylalkyl, etc.; Q = O, S, S(O), SO2, N; W = X bond, alkyl, substituted alkyl, etc.; YZ = fused aryl, fused heteroaryl; m = n = 0 - 5 and m + n = 1 - 5] were prepared for use as modulators of chemokine receptor activity (no data). Thus, spiroindoline II (R = 3,5-dimethylbenzoyl) was prepared starting from 3,5-dimethylbenzoic acid, 1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidine] monohydrochloride, and (S)-3,4-dichloro-N-methyl-β-2-propenylbenzeneethanamine.
IT 167485-09-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of spiro-substituted azacycles as modulators of chemokine receptor activity)
RN 167485-09-8 CAPLUS
CN Ethanone, 1-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-(5-fluoro-1H-indol-3-yl)- (CA INDEX NAME)

L9 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

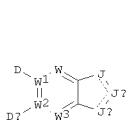


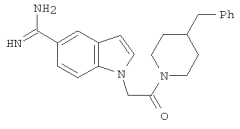
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:65894 CAPLUS
DOCUMENT NUMBER: 128:128015
ORIGINAL REFERENCE NO.: 128:25147a,25150a
TITLE: Preparation of amidinoindoles and amidinoazoles as inhibitors of factor Xa and of thrombin
INVENTOR(S): Dominguez, Celia; Han, Qi; Duffy, Daniel Emmett; Park, Jeongsook Maria; Quan, Mimi Lifen; Rossi, Karen Anita; Wexler, Ruth Richmond
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9801428	A1	19980115	WO 1997-US11325	19970630
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2259573	A1	19980115	CA 1997-2259573	19970630
AU 9736456	A	19980202	AU 1997-36456	19970630
EP 960102	A1	19991201	EP 1997-933214	19970630
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
NZ 333696	A	20000623	NZ 1997-333696	19970630
PRIORITY APPLN. INFO.:			US 1996-676766 A	19960708
			US 1997-49519P P	19970613
			WO 1997-US11325 W	19970630

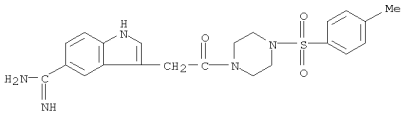
OTHER SOURCE(S): MARPAT 128:128015
GI


I

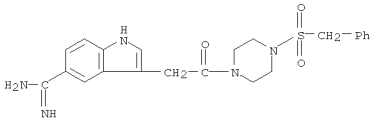

II

AB The title compds. [I; W, W3 = CH, N; W1, W2 = C, CH, N (provided that one of W1 and W2 is C(C(=NH)NH2) and at most two of W, W1, W2, and W3 are N); one of D, Da = H, C1-4 alkoxy, CN, etc. and the other is absent; one of Ja and Jb is substituted by -(CH2)n-Z-A-B; J, Ja, Jb combine to form an aromatic

L9 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
heterocyclic system contg. from 1-2 heteroatoms (N, O, and S), a heterocyclic ring wherein Jb = N and J and Ja = (un)substituted CH2, a heterocyclic ring wherein Jb = CH, J = (un)substituted NH and Ja = (un)substituted CH; Z = CH:CH, SO2CH2, etc.; A = (un)substituted PhCH2, PhCH2CH2, etc.; B = C3-6 alkyl, (un)substituted PhCH2, 5-10 membered heterocyclic system, etc.], useful as inhibitors of factor Xa or thrombin,
were prepd. and formulated. Thus, reaction of 5-cyanoindole-1-acetic acid
with 4-benzylpiperidine followed by treatment of the resulting 1-(4-benzylpiperidinocarbonyl)methyl-5-cyanoindole with HCl(g) in MeOH, and then with (NH4)2CO3 in MeOH afforded the title compd. II. Some compds. I were evaluated and showed Ki of < 5 μM against thrombin.
IT 202123-90-8P 202123-94-2P 202123-96-4P
202123-97-5P 202123-98-6P 202124-01-4P
202124-04-7P 202124-24-1P 202124-28-5P
202126-86-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinoindoles and amidinoazoles as inhibitors of factor Xa
and of thrombin)
RN 202123-90-8 CAPLUS
CN 1H-Indole-5-carboximidamide, 3-[2-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]-2-oxoethyl]- (CA INDEX NAME)

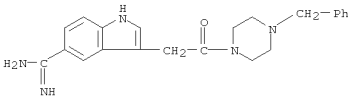


RN 202123-94-2 CAPLUS
CN 1H-Indole-5-carboximidamide, 3-[2-oxo-2-[4-[(phenylmethyl)sulfonyl]-1-piperazinyl]ethyl]- (CA INDEX NAME)

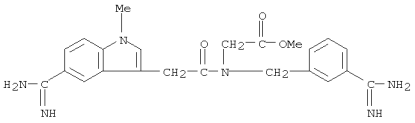


L9 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

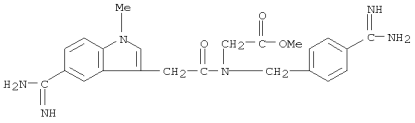
RN 202123-96-4 CAPLUS
CN 1H-Indole-5-carboximidamide, 3-[2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



RN 202123-97-5 CAPLUS
CN Glycine, N-[[5-(aminoiminomethyl)-1-methyl-1H-indol-3-yl]acetyl]-N-[[3-(aminoiminomethyl)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

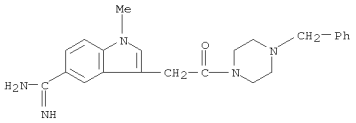


RN 202123-98-6 CAPLUS
CN Glycine, N-[[5-(aminoiminomethyl)-1-methyl-1H-indol-3-yl]acetyl]-N-[[4-(aminoiminomethyl)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

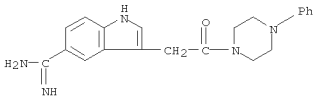


RN 202124-01-4 CAPLUS
CN 1H-Indole-5-carboximidamide, 1-methyl-3-[2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

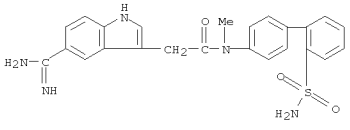
L9 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



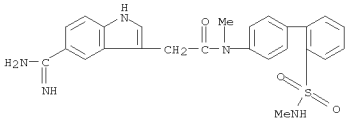
RN 202124-04-7 CAPLUS
CN 1H-Indole-5-carboximidamide, 3-[2-oxo-2-(4-phenyl-1-piperazinyl)ethyl]- (CA INDEX NAME)



RN 202124-24-1 CAPLUS
CN 1H-Indole-3-acetamide, 5-(aminoiminomethyl)-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-N-methyl- (CA INDEX NAME)

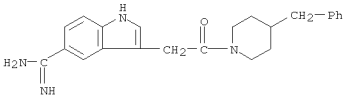


RN 202124-28-5 CAPLUS
CN 1H-Indole-3-acetamide, 5-(aminoiminomethyl)-N-methyl-N-[2'-[(methylamino)sulfonyl][1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

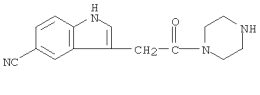


RN 202126-86-1 CAPLUS

L9 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN 1H-Indole-5-carboximidamide, 3-[2-oxo-2-[4-(phenylmethyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)

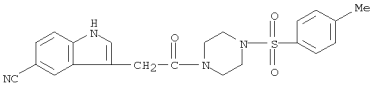


IT 202124-97-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amidinoindoles and amidinoazoles as inhibitors of factor Xa
and of thrombin)
RN 202124-97-8 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[2-oxo-2-(1-piperazinyl)ethyl]-, hydrochloride
(1:1) (CA INDEX NAME)



● HCl

IT 202124-91-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinoindoles and amidinoazoles as inhibitors of factor Xa
and of thrombin)
RN 202124-91-2 CAPLUS
CN 1H-Indole-5-carbonitrile, 3-[2-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]-2-oxoethyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

L9 ANSWER 30 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

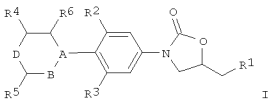
ACCESSION NUMBER: 1997:579718 CAPLUS
DOCUMENT NUMBER: 127:248104
ORIGINAL REFERENCE NO.: 127:48481a
TITLE: Preparation of aryloxooxazolidinylmethylacetamides and related compounds as antibacterials.
INVENTOR(S): Gravestock, Michael Barry
PATENT ASSIGNEE(S): Zeneca Ltd., UK; Gravestock, Michael Barry
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730995	A1	19970828	WO 1997-GB462	19970220
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9701469	A	19970825	ZA 1997-1469	19970220
AU 9718053	A	19970910	AU 1997-18053	19970220
EP 882042	A1	19981209	EP 1997-903509	19970220
R: CH, DE, FR, GB, IT, LI				
JP 11514662	T	19991214	JP 1997-529888	19970220
IN 1997DE00443	A	20050311	IN 1997-DE443	19970221
US 5981528	A	19991109	US 1997-945160	19971021
US 6271383	B1	20010807	US 1999-364389	19990730
US 6365751	B1	20020402	US 2001-836095	20010417

PRIORITY APPLN. INFO.:
GB 1996-3939 A 19960224
GB 1996-18404 A 19960904
WO 1997-GB462 W 19970220
US 1997-945160 A3 19971021
US 1999-364389 A3 19990730

OTHER SOURCE(S): MARPAT 127:248104
GI

L9 ANSWER 30 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

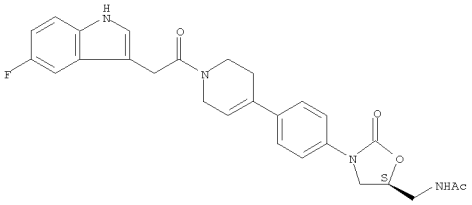
AB Title compds. (I; R1 = OH, Cl, Br, F, alkylsulfonyloxy, amino, N3, alkoxy, alkylthio, alkylaminocarbonyloxy, etc.; R2, R3 = H, F; D = O, S, SO, SO2, imino, acylimino; R4, R5 = H, Br, O, alkyl, alkanoylaminoalkyl, hydroxyalkyl, CO2H, alkoxycarbonyl, etc.; R6 = H, alkyl, OH, alkoxy, alkanoyloxy; AB = C:CRa, CHCHRa, or C(OH)CHRa; Ra = H, alkyl), were prepared
Thus, a mixture of tert-Bu 1,2,3,6-tetrahydro-4-(trifluoromethylsulfonyloxy)pyridine-1-carboxylate, Pd2(dibenzylideneacetone)2, Ph3As, and LiCl in N-methylpyrrolidine was treated with (S)-5-acetamidomethyl-3-(4-trimethyltinphenyl)oxazolidin-2-one (preparation given) followed by stirring at room temperature to 40° to give 23% (S)-N-[3-[4-(1-tert-butyloxycarbonyl-1,2,5,6-tetrahydropyrid-4-yl)phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide. The latter showed a min. inhibitory concentration of 1.0 μg/mL against Staphylococcus aureus Oxford.

IT 195816-92-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryloxooxazolidinylmethylacetamides and related compds. as antibacterials)

RN 195816-92-3 CAPLUS
CN Acetamide, N-[[(5S)-3-[4-[1-[2-(5-fluoro-1H-indol-3-yl)acetyl]-1,2,3,6-tetrahydro-4-pyridinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 30 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



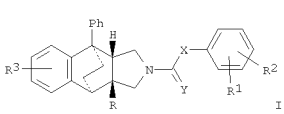
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 31 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:456960 CAPLUS
DOCUMENT NUMBER: 127:95194
ORIGINAL REFERENCE NO.: 127:18329a,18332a
TITLE: New benzisoindole derivatives as inhibitors of farnesyl transferase, their preparation, and pharmaceutical compositions containing them.
INVENTOR(S): Commercon, Alain; Lebrun, Alain; Mailliet, Patrick; Peyronel, Jean Francois; Sounigo, Fabienne; Truchon, Alain; Zucco, Martine; Cheve, Michel
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer SA, Fr.
SOURCE: Fr. Demande, 96 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2736641	A1	19970117	FR 1995-8296	19950710
FR 2736641	B1	19970822		
TW 438792	B	20010607	TW 1996-85108158	19960705
IN 1996DE01492	A	20050311	IN 1996-DE1492	19960705
CA 2224414	A1	19970130	CA 1996-2224414	19960708
WO 9703050	A1	19970130	WO 1996-FR1062	19960708
W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9665224	A	19970210	AU 1996-65224	19960708
AU 712194	B2	19991028		
EP 839133	A1	19980506	EP 1996-924952	19960708
EP 839133	B1	19991006		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1190389	A	19980812	CN 1996-195415	19960708
CN 1096448	C	20021218		
JP 11511123	T	19990928	JP 1996-505557	19960708
AT 185341	T	19991015	AT 1996-924952	19960708
ES 2139373	T3	20000201	ES 1996-924952	19960708
IL 122812	A	20010430	IL 1996-122812	19960708
SK 282250	B6	20011203	SK 1998-26	19960708
CZ 291620	B6	20030416	CZ 1998-54	19960708
ZA 9605868	A	19970129	ZA 1996-5868	19960710
BR 9609440	A	19990629	BR 1996-9440	19960710
NO 9800094	A	19980217	NO 1998-94	19980109
NO 309565	B1	20010219		
US 5936097	A	19990810	US 1998-981840	19980723
GR 3031409	T3	20000131	GR 1999-402001	19991007

PRIORITY APPLN. INFO.: FR 1995-8296 A 19950710
WO 1996-FR1062 W 19960708

L9 ANSWER 31 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 127:95194
GI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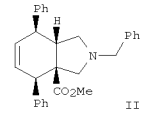
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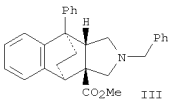
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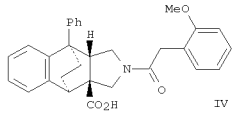
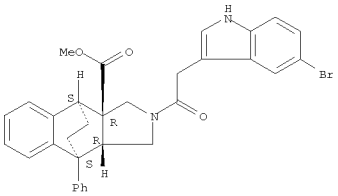

IV

AB Title compds. I [R = (un)substituted (CH2)mX1(CH2)nZ; X1 = bond, O, S; m = 0-1; n = 0-2; Z = CO2H, alkoxycarbonyl, (un)substituted carbamoyl, etc.; R1, R2 = H, halo, alkyl, (un)substituted alkoxy; or R1R2 form (un)saturated heterocycle; or R2 forms dimer via disulfide bridge; R3 = H, halo, alkyl, alkenyl, alkoxy, alkylthio; X = O, S, NH, CO, CH2, CH2CH2, alkylene, 1,1-cycloalkanediyl; Y = O, S], in racemic form or as optical isomers, are claimed. The compds. are inhibitors of farnesyl transferase, and show marked antitumor and antileukemic properties. For example, cis-3,6-diphenyl-1,4-cyclohexadienecarboxylic acid Me ester (preparation given) reacted with PhCH2N(CH2OBu)(CH2SiMe3) in refluxing CF3CO2H to give the intermediate hexahydroisoindole derivative II.HCl, which was further cyclized by CF3SO3H at 5-20° to give the benz[f]isoindole intermediate III. This was then converted in 3 steps to title compound IV. In an assay for inhibition of farnesyl transferase, IV had an IC50 of 0.31 μM.
IT 191989-96-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of new benzisoindole derivs. farnesyl transferase inhibitors)
RN 191989-96-5 CAPLUS
CN 4,9-Ethano-3aH-benz[f]isoindole-3a-carboxylic acid, 2-[2-(5-bromo-1H-indol-3-yl)acetyl]-1,2,3,4,9,9a-hexahydro-9-phenyl-,

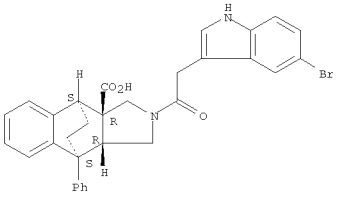
L9 ANSWER 31 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
methyl ester, (3aR,4S,9S,9aR)-rel- (CA INDEX NAME)

Relative stereochemistry.



IT 191989-23-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of new benzisoindole derivs. farnesyl transferase inhibitors)
RN 191989-23-8 CAPLUS
CN 4,9-Ethano-3aH-benz[f]isoindole-3a-carboxylic acid, 2-[2-(5-bromo-1H-indol-3-yl)acetyl]-1,2,3,4,9,9a-hexahydro-9-phenyl-, (3aR,4S,9S,9aR)-rel- (CA INDEX NAME)

Relative stereochemistry.



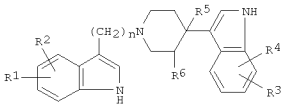
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 32 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:995279 CAPLUS
DOCUMENT NUMBER: 124:145907
ORIGINAL REFERENCE NO.: 124:27133a,27136a
TITLE: Preparation of 1-(3-indolylalkyl)-4-(3-indolyl)piperidines as dopamine agonists or antagonists.
INVENTOR(S): Boettcher, Henning; Maerz, Joachim; Seyfried, Christoph; Greiner, Hartmut; Bartoszyk, Gerd
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

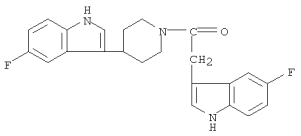
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4414113	A1	19951026	DE 1994-4414113	19940422
EP 683166	A1	19951122	EP 1995-105227	19950407
EP 683166	B1	19981028		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 172730	T	19981115	AT 1995-105227	19950407
ES 2125508	T3	19990301	ES 1995-105227	19950407
AU 9516488	A	19951102	AU 1995-16488	19950413
AU 697749	B2	19981015		
JP 07291969	A	19951107	JP 1995-91077	19950417
SK 280881	B6	20000814	SK 1995-508	19950419
CA 2147451	A1	19951023	CA 1995-2147451	19950420
CA 2147451	C	20060328		
CN 1114651	A	19960110	CN 1995-104705	19950420
CN 1047385	C	19991215		
TW 401416	B	20000811	TW 1995-84103916	19950420
NO 9501529	A	19951023	NO 1995-1529	19950421
NO 307831	B1	20000605		
ZA 9503260	A	19960109	ZA 1995-3260	19950421
HU 74096	A2	19961128	HU 1995-1139	19950421
US 5693655	A	19971202	US 1995-426405	19950421
CZ 285369	B6	19990714	CZ 1995-1035	19950421
RU 2151148	C1	20000620	RU 1995-106675	19950421
PL 180781	B1	20010430	PL 1995-308287	19950421

PRIORITY APPLN. INFO.: DE 1994-4414113 A 19940422

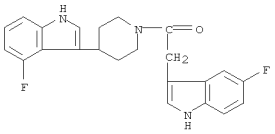
OTHER SOURCE(S): CASREACT 124:145907; MARPAT 124:145907
GI


I

L9 ANSWER 32 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
AB Title compds. [I; R1-R4 = H, alkyl, OH, alkoxy, F, Cl, Br, iodo, cyano, CF3, CO2H, CONH2, alkoxycarbonyl, etc.; R1R2, R3R4 = OCH2O; R5 = H, OH; R6 = H; R5R6 = bond; n = 2-6], were prepared as drugs (no data). Thus, 3-(4-chlorobutyl)-5-methoxyindole and 4-(3-indolyl)piperidine were refluxed 8 h in MeCN to give 3-[1-[4-(5-methoxyindol-3-yl)butyl]-4-piperidinyl]indole hydrochloride.
IT 173150-68-0 173150-69-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 1-(3-indolylalkyl)-4-(3-indolyl)piperidines as dopamine agonists or antagonists)
RN 173150-68-0 CAPLUS
CN Ethanone, 2-(5-fluoro-1H-indol-3-yl)-1-[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]- (CA INDEX NAME)



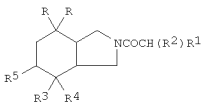
RN 173150-69-1 CAPLUS
CN Ethanone, 2-(5-fluoro-1H-indol-3-yl)-1-[4-(4-fluoro-1H-indol-3-yl)-1-piperidinyl]- (CA INDEX NAME)



L9 ANSWER 33 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:851691 CAPLUS
DOCUMENT NUMBER: 123:285765
ORIGINAL REFERENCE NO.: 123:51207a,51210a
TITLE: Preparation of perhydroisoindole antiemetics
INVENTOR(S): Garret, Claude; Louvel, Erik
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer S.A., Fr.
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9509628	A1	19950413	WO 1994-FR1160	19941005
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2710842	A1	19950414	FR 1993-11945	19931007
FR 2710842	B1	19951124		
AU 9478581	A	19950501	AU 1994-78581	19941005
PRIORITY APPLN. INFO.:			FR 1993-11945 A	19931007
			WO 1994-FR1160 W	19941005

OTHER SOURCE(S): CASREACT 123:285765; MARPAT 123:285765
GI

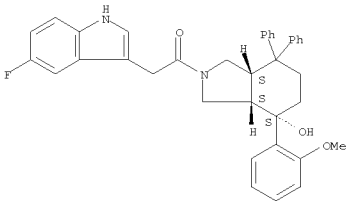


I

AB The title compds. [I; R = (un)substituted Ph; R1 = (un)substituted Ph, cyclohexadienyl, naphthyl, indenyl, (un)substituted heterocyclyl; R2 = H, halogen, OH, alkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkyloxy, alkylthio, acyloxy, CO2H, (un)substituted alkyloxycarbonyl, benzyloxycarbonyl, NH2, acylamino; R3 = (un)substituted Ph; R4 = OH or F if R5 = H; etc.] [e.g., (3aS,4S,7aS)-7,7-diphenyl-4-(2-methoxyphenyl)-2-tert-butoxycarbonyl-4-perhydroisoindolol], useful as antiemetics, are prepared and I-containing formulations presented.
IT 153438-63-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L9 ANSWER 33 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
(prepn. of perhydroisoindole antiemetics)
RN 153438-63-2 CAPLUS
CN 1H-Isoindol-4-ol, 2-[(5-fluoro-1H-indol-3-yl)acetyl]octahydro-4-(2-methoxyphenyl)-7,7-diphenyl-, [3aS-(3aα,4β,7aα)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



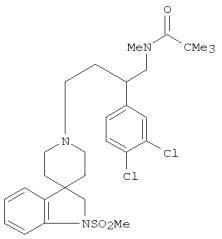
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 34 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:781772 CAPLUS
DOCUMENT NUMBER: 123:169671
ORIGINAL REFERENCE NO.: 123:30303a,30306a
TITLE: Preparation of spirocyclic compounds as neurokinin antagonists
INVENTOR(S): MacCoss, Malcolm; Mills, Sander G.; Shah, Shrenik K.; Chiang, Yuan-Ching P.; Dunn, Patrick T.; Koyama, Hiroo; Finke, Paul E.; Qi, Hongbo; Robichaud, Albert J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 226 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9429309	A1	19941222	WO 1994-US5545	19940517
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TT, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2163995	A1	19941222	CA 1994-2163995	19940517
AU 9472011	A	19950103	AU 1994-72011	19940517
AU 680020	B2	19970717		
EP 702681	A1	19960327	EP 1995-901979	19940517
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08511522	T	19961203	JP 1994-501802	19940517
ZA 9403946	A	19950120	ZA 1994-3946	19940606
PRIORITY APPLN. INFO.:			US 1993-72904 A	19930607
			WO 1994-US5545 W	19940517

OTHER SOURCE(S): MARPAT 123:169671
GI

L9 ANSWER 34 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I

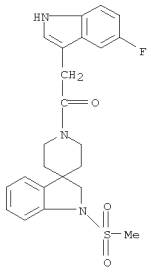
AB Spirocyclic nitrogen-heterocyclic compds. were disclosed as tachykinin receptor antagonists useful for the treatment of inflammatory diseases, pain or migraine, and asthma. In particular, said compds. were shown to be neurokinin antagonists. Many example compds. are claimed. One such specific compound is N-[3-(3,4-dichlorophenyl)-4-[1,2-dihydro-1-(sulfonylmethyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]butyl]-2,2-dimethylpropanamide (I).

IT 167485-09-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spirocyclic compds. as kinin receptor antagonists)

RN 167485-09-8 CAPLUS

CN Ethanone, 1-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-(5-fluoro-1H-indol-3-yl)- (CA INDEX NAME)

L9 ANSWER 34 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

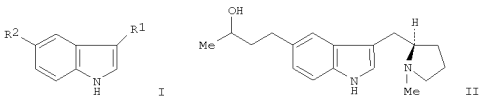
L9 ANSWER 35 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:772570 CAPLUS
DOCUMENT NUMBER: 123:169499
ORIGINAL REFERENCE NO.: 123:30255a,30258a
TITLE: Indole derivatives as 5-HT1-like agonists for use in migraine
INVENTOR(S): Wythes, Martin James
PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Inc.; Pfizer Research and Development Company, N.V./S.A.
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9424127	A1	19941027	WO 1994-EP1121	19940411
W: AU, BR, CA, CN, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2157397	A1	19941027	CA 1994-2157397	19940411
CA 2157397	C	19990706		
AU 9465670	A	19941108	AU 1994-65670	19940411
BR 9406481	A	19960109	BR 1994-6481	19940411
EP 695301	A1	19960207	EP 1994-913573	19940411
EP 695301	B1	19961030		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1121348	A	19960424	CN 1994-191850	19940411
JP 08507083	T	19960730	JP 1994-522726	19940411
HU 73807	A2	19960930	HU 1995-1920	19940411
AT 144773	T	19961115	AT 1994-913573	19940411
ES 2094653	T3	19970116	ES 1994-913573	19940411
ZA 9402722	A	19951020	ZA 1994-2722	19940420
FI 9504944	A	19951017	FI 1995-4944	19951017
NO 9504168	A	19951019	NO 1995-4168	19951019
US 5607960	A	19970304	US 1995-532573	19951020

PRIORITY APPLN. INFO.: GB 1993-8360 A 19930422
GB 1993-24433 A 19931127
WO 1994-EP1121 W 19940411

OTHER SOURCE(S): MARPAT 123:169499
GI



I II

AB The title compds., 3-(pyrrolidinylmethyl)indoles and 3-(piperidinylmethyl)indoles I [R1 = (2-pyrrolidinyl)methyl,

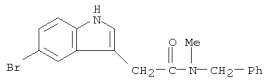
L9 ANSWER 35 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

3-pyrrolidinyl, 4-piperidinyl, (3-piperidinyl)methyl; R2 = alkyl, oxoalkyl, etc.] were disclosed as selective 5-HT1-like agonists useful in the treatment of migraine, cluster headache, chronic paroxysmal hemicrania and headache assocd. with vascular disorders. A specifically claimed example compd. is 5-(3-hydroxybutyl)-3-[(R)-(1-methyl-2-pyrrolidinyl)methyl]-1-H-indole (II).

IT 167303-72-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (aminoalkyl)indoles 5-HT1-like agonists)

RN 167303-72-2 CAPLUS

CN 1H-Indole-3-acetamide, 5-bromo-N-methyl-N-(phenylmethyl)- (CA INDEX NAME)



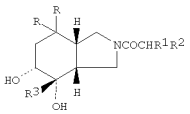
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:615038 CAPLUS
DOCUMENT NUMBER: 123:32956
ORIGINAL REFERENCE NO.: 123:6087a,6090a
TITLE: Preparation of pharmaceutical perhydroisoindole derivatives as neurokinin A antagonists
INVENTOR(S): Crespo, Andre; Fardin, Veronique; Guillaume, Jean-Marc; Malleron, Jean -Luc; Peyronel, Jean-Francois
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer S.A., Fr.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422822	A1	19941013	WO 1994-FR371	19940401
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2703679	A1	19941014	FR 1993-3965	19930405
FR 2703679	B1	19950623		
CA 2158663	A1	19941013	CA 1994-2158663	19940401
AU 9465068	A	19941024	AU 1994-65068	19940401
EP 693059	A1	19960124	EP 1994-912582	19940401
EP 693059	B1	19970312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08508283	T	19960903	JP 1994-521762	19940401
HU 74089	A2	19961128	HU 1995-2902	19940401
AT 150014	T	19970315	AT 1994-912582	19940401
ES 2099601	T3	19970516	ES 1994-912582	19940401
US 5631279	A	19970520	US 1995-448402	19950607
NO 9503913	A	19951002	NO 1995-3913	19951002
FI 9504730	A	19951117	FI 1995-4730	19951004

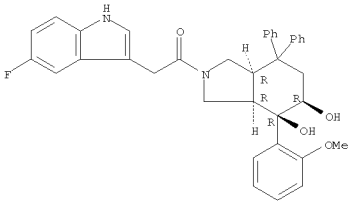
PRIORITY APPLN. INFO.: FR 1993-3965 A 19930405
WO 1994-FR371 W 19940401

OTHER SOURCE(S): MARPAT 123:32956
GI



I

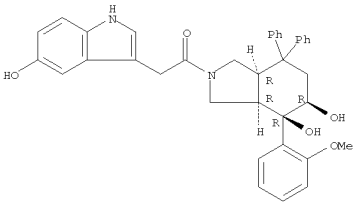
L9 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L9 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
AB Title compds. I (R = (substituted)Ph; R1 = (substituted)Ph, PhCh2O, (substituted)-C1-4 alkyl, (substituted)amino, (substituted)heterocyclyl, cyclohexadienyl, naphthyl, indenyl; R2 = H, halo, HO, alkyl, aminoalkyl, allylaminoalkyl, dialkylaminoalkyl, etc.; R3 = (substituted)Ph), are prepared (3AR,4R,5R,7aR)-7,7-diphenyl-4-(2-methoxyphenyl)perhydro-4,5-isoindolediol (preparation given) and 3-indolylacetic acid in CH2Cl2 were added to 1-benzotriazolylol hydrate, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide and diisopropylethylamine to give (3aR,4R,5R,7aR)-I (R1 = 3-indolyl, R2 = H, R3 = 2-(MeO)C6H4) which at 10-1000 nM on human receptor NK2 showed IC50 of 215 nM. A formulation tablet comprising I is given.
IT 163838-54-8P 163838-57-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pharmaceutical perhydroisoindole derivs. as neurokinin A antagonists)
RN 163838-54-8 CAPLUS
CN 1H-Isoindole-4,5-diol, octahydro-2-[(5-hydroxy-1H-indol-3-yl)acetyl]-4-(2-methoxyphenyl)-7,7-diphenyl-, [3aR-(3aα,4β,5β,7aα)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 163838-57-1 CAPLUS
CN Ethanone, 2-(5-fluoro-1H-indol-3-yl)-1-[(3aR,4R,5R,7aR)-octahydro-4,5-dihydroxy-4-(2-methoxyphenyl)-7,7-diphenyl-2H-isoindol-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

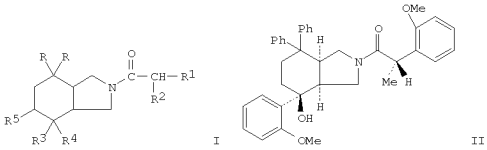
L9 ANSWER 37 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:270102 CAPLUS
DOCUMENT NUMBER: 120:270102
ORIGINAL REFERENCE NO.: 120:47843a,47846a
TITLE: Perhydroisoindole derivatives as substance P antagonists and their preparation
INVENTOR(S): Achard, Daniel; Grisoni, Serge; Malleron, Jean Luc; Peyronel, Jean-francois; Tabart, Michel
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer S.A., Fr.
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9321155	A1	19931028	WO 1993-FR352	19930408
W: AU, CA, CZ, FI, HU, JP, KR, KZ, NO, NZ, PL, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2689888	A1	19931015	FR 1992-4390	19920410
FR 2689888	B1	19940610		
IL 105255	A	19970218	IL 1993-105255	19930401
ZA 9302527	A	19931108	ZA 1993-2527	19930408
AU 9339565	A	19931118	AU 1993-39565	19930408
AU 667214	B2	19960314		
EP 635003	A1	19950125	EP 1993-909005	19930408
EP 635003	B1	19980617		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07505410	T	19950615	JP 1993-518041	19930408
JP 3205557	B2	20010904		
HU 71354	A2	19951128	HU 1994-2911	19930408
PL 172754	B1	19971128	PL 1993-305360	19930408
SK 279032	B6	19980506	SK 1994-1220	19930408
AT 167472	T	19980715	AT 1993-909005	19930408
CZ 284213	B6	19980916	CZ 1994-2482	19930408
ES 2118232	T3	19980916	ES 1993-909005	19930408
RU 2127260	C1	19990310	RU 1994-45855	19930408
NO 9403692	A	19941003	NO 1994-3692	19941003
FI 9404729	A	19941007	FI 1994-4729	19941007
FI 105023	B1	20000531		
US 5484804	A	19960116	US 1994-313121	19941011

PRIORITY APPLN. INFO.: FR 1992-4390 A 19920410
WO 1993-FR352 A 19930408

OTHER SOURCE(S): MARPAT 120:270102
GI

L9 ANSWER 37 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I II

AB Title compds. I [R = Ph optionally substituted with halogen or Me in position 2 or 3; R1 = (un)substituted Ph, cyclohexadienyl, naphthyl, indenyl, heterocyclyl; R2 = H, halo, OH, alkyl, aminoalkyl, CO2H, amino, etc.; R3 = Ph optionally substituted in position 2 by C1-2 alkyl or alkoxy; R4 = F, OH; R5 = H; or R4 = R5 = OH; or R4R5 = bond] and their stereoisomers, isomer mixts., and salts, are claimed (40 synthetic examples). For example, N-acylation of [3a(S),4(S),7a(S)]-7,7-diphenyl-4-(2-methoxyphenyl)perhydroisoindol-4-ol (prepared in 4 steps) with (S)-2-(MeO)C6H4CHMeCO2H (prepared in 3 steps) using EDCI in CH2Cl2 gave title compound II. The ED50 of II for inhibition of increased capillary permeability induced by septide (a substance P agonist) in guinea pigs was 0.04 mg/kg i.v. or 3.5 mg/kg p.o. II also countered hypotension and bronchoconstriction induced by substance P in guinea pigs.

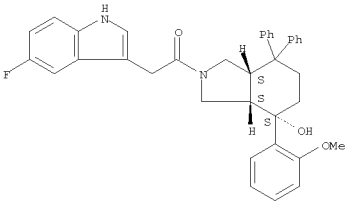
IT 153438-63-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as substance P antagonist)

RN 153438-63-2 CAPLUS

CN 1H-Isoindol-4-ol, 2-[(5-fluoro-1H-indol-3-yl)acetyl]octahydro-4-(2-methoxyphenyl)-7,7-diphenyl-, [3aS-(3aα,4β,7aα)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 37 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

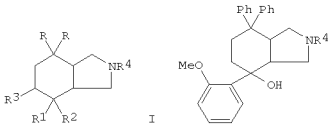
L9 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:244664 CAPLUS
DOCUMENT NUMBER: 120:244664
ORIGINAL REFERENCE NO.: 120:43361a,43364a
TITLE: Preparation of perhydroisoindoles as substance P antagonists
INVENTOR(S): Achard, Daniel; Grisoni, Serge; Malleron, Jean Luc; Peyronel, Jean Francois; Tabart, Michel
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer S.A., Fr.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9321154	A1	19931028	WO 1993-FR351	19930408
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2689889	A1	19931015	FR 1992-4391	19920410
FR 2689889	B1	19940610		
IL 105256	A	19970814	IL 1997-105256	19930401
ZA 9302528	A	19931028	ZA 1993-2528	19930408
AU 9339564	A	19931118	AU 1993-39564	19930408
AU 667365	B2	19960321		
EP 635002	A1	19950125	EP 1993-909004	19930408
EP 635002	B1	19980722		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07505409	T	19950615	JP 1993-518040	19930408
HU 71330	A2	19951128	HU 1994-2912	19930408
PL 172753	B1	19971128	PL 1993-305359	19930408
AT 168674	T	19980815	AT 1993-909004	19930408
ES 2118954	T3	19981001	ES 1993-909004	19930408
RU 2120438	C1	19981020	RU 1994-45867	19930408
CZ 284596	B6	19990113	CZ 1994-2483	19930408
NO 9403738	A	19941005	NO 1994-3738	19941005
FI 9404728	A	19941007	FI 1994-4728	19941007
FI 105022	B1	20000531		
US 5463077	A	19951031	US 1994-313120	19941011

PRIORITY APPLN. INFO.: FR 1992-4391 A 19920410
WO 1993-FR351 A 19930408

OTHER SOURCE(S): MARPAT 120:244664
GI



I II

L9 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

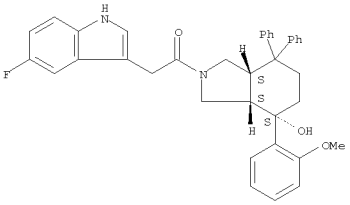
AB Title compds. (I; R = Ph, 2- or 3-halophenyl, -methylphenyl; R1 = Ph, 2-methyl- or -ethylphenyl, -methoxy- or -ethoxyphenyl; R2 = F, OH; R3 = H, OH; R2R3 = bond; R4 = H, protective group) were prepared Thus, (3aRS,7aRS)-7,7-diphenylperhydroisoindol-4-one was converted in 3 steps to (S,S)-I (R = Ph, R1R2 = O, R3 = H, R4 = CO2CMe3) which was condensed with the Grignard reagent from 2-(MeO)C6H4Br to give, after deprotection, isoindolol II (R4 = H). The latter was condensed with (S)-2-(MeO)C6H4CHMeCO2H (preparation given) to give II [R4 = (S)-2-(MeO)C6H4CHMeCO] which had ED50 of 0.7mg/kg i.v. against [pro9] substance P-induced bronchospasm in monkeys.

IT 153438-63-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as substance P antagonist)

RN 153438-63-2 CAPLUS

CN 1H-Isoindol-4-ol, 2-[(5-fluoro-1H-indol-3-yl)acetyl]octahydro-4-(2-methoxyphenyl)-7,7-diphenyl-, [3aS-(3aα,4β,7aα)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

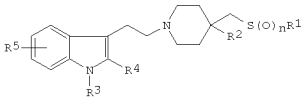


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:671015 CAPLUS
DOCUMENT NUMBER: 119:271015
ORIGINAL REFERENCE NO.: 119:48497a,48500a
TITLE: (Indolylethyl)piperidine NK2 receptor antagonists
INVENTOR(S): Cooper, Anthony William James; Hagan, Russell Michael
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9314084	A2	19930722	WO 1993-EP101	19930115
WO 9314084	A3	19931014		
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9333513	A	19930803	AU 1993-33513	19930115
PRIORITY APPLN. INFO.:			GB 1992-1179 A	19920121
			WO 1993-EP101 A	19930115

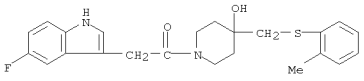
OTHER SOURCE(S): MARPAT 119:271015
GI



I

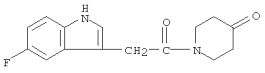
AB The title compds. I [R1 = (un)substituted Ph; R2 = H, HO, C1-4 alkoxy; R3 = H, C1-4 alkyl; R4 = H, C1-4 alkyl, C1-4 alkoxy; R5 = H, C1-4 alkyl, CF3, CN, halogen; n = 0-2], useful in the treatment of conditions mediated by tachykinins, including NKA, NKB, and substance P, acting at the NK2 receptor, are prepared Thus, (R)-methylphenyl sulfoxide was reacted with Li bis(trimethylsilyl)amide, and the intermediate reacted with 1-[5-fluoro-1H-indol-3-yl)ethyl]-4-piperidone, followed by methanesulfonic acid, producing (R)-1-[2-(5-fluoro-1H-indol-3-yl)ethyl]-4-[(phenylsulfinyl)methyl]-4-piperidinol methanesulfonic acid salt (II). II demonstrated anxiolytic activity in the mouse light-dark box and the rat elevated plus-maze.
IT 151191-69-4P 151191-70-7P 151191-71-8P

L9 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
CN Ethanone, 2-(5-fluoro-1H-indol-3-yl)-1-[4-hydroxy-4-[[(2-methylphenyl)thio]methyl]-1-piperidinyl]- (CA INDEX NAME)

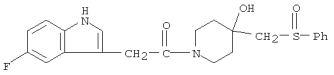


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

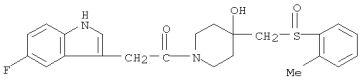
L9 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
151191-75-2P 151191-78-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of NK2 receptor antagonists)
RN 151191-69-4 CAPLUS
CN 4-Piperidinone, 1-[2-(5-fluoro-1H-indol-3-yl)acetyl]- (CA INDEX NAME)



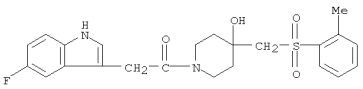
RN 151191-70-7 CAPLUS
CN Ethanone, 2-(5-fluoro-1H-indol-3-yl)-1-[4-hydroxy-4-[(phenylsulfinyl)methyl]-1-piperidinyl]- (CA INDEX NAME)



RN 151191-71-8 CAPLUS
CN Ethanone, 2-(5-fluoro-1H-indol-3-yl)-1-[4-hydroxy-4-[[(2-methylphenyl)sulfinyl]methyl]-1-piperidinyl]- (CA INDEX NAME)

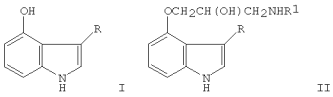


RN 151191-75-2 CAPLUS
CN Ethanone, 2-(5-fluoro-1H-indol-3-yl)-1-[4-hydroxy-4-[[(2-methylphenyl)sulfonyl]methyl]-1-piperidinyl]- (CA INDEX NAME)



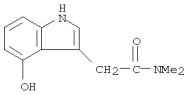
RN 151191-78-5 CAPLUS

L9 ANSWER 40 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:168924 CAPLUS
DOCUMENT NUMBER: 118:168924
ORIGINAL REFERENCE NO.: 118:28969a,28972a
TITLE: Search for β-adrenoblockers among aminooxypropyl derivatives of 4-hydroxyindolylacetic acid and 4-hydroxyskatole
AUTHOR(S): Glushkov, R. G.; Mashkovskii, M. D.; Skryabin, G. K.; Suvorov, N. N.; Kozlovskii, A. G.; Vinograd, L. Kh.; Yuzhakov, S. D.; Arinbasarov, M. U.; Tribunskaya, Yu. I.; et al.
CORPORATE SOURCE: TSKhLS, VNIKhFI im. S. Ordzhonikidze, Moscow, Russia
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1992), 26(6), 18-21
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 118:168924
GI



I II

AB Treating indoles I (R = CH2CO2Me, Me, CH2CONH2, CH2CONMe2) with 2-(chloromethyl)oxirane gave 74-82.5% glycidyloxy derivs. which were substituted by Me2CHNH2 and Me3CNH2 to give 60.5-94.5% aminohydroxypropoxy derivs. II (R1 = Me2CH, CMe3). The highest blocking activity was displayed by II (R = Me, R1 = CMe3) and by II (R = CH2CO2Me, R1 = CMe3).
IT 145101-52-6
RL: PROC (Process)
(substitution of, by epichlorohydrin)
RN 145101-52-6 CAPLUS
CN 1H-Indole-3-acetamide, 4-hydroxy-N,N-dimethyl- (CA INDEX NAME)

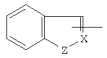


L9 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:82562 CAPLUS
DOCUMENT NUMBER: 114:82562
ORIGINAL REFERENCE NO.: 114:14124h,14125a
TITLE: Preparation of acyldipeptide amides as tachykinin antagonists
INVENTOR(S): Matsuo, Masaaki; Hagiwara, Daijiro; Miyake, Hiroshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 394989	A2	19901031	EP 1990-107822	19900425
EP 394989	A3	19910424		
EP 394989	B1	19941221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5164372	A	19921117	US 1990-505457	19900406
CA 2015359	A1	19901028	CA 1990-2015359	19900425
JP 03027399	A	19910205	JP 1990-114129	19900427
PRIORITY APPLN. INFO.:			GB 1989-9795 A	19890428
			GB 1989-17542 A	19890801

OTHER SOURCE(S): MARPAT 114:82562
GI

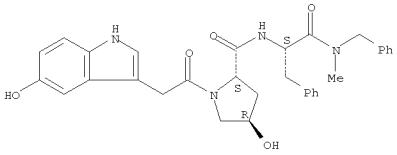
Q1=



AB R1YCOANR2CH(CH2C6H4R3-p)CONR4R5 [R1 = (substituted) alkyl, aryl, arylamino, pyridyl, pyrrolyl, pyrazolopyridyl, quinolyl, Q1; X = CH, N; Z = O, S, NH; R2 = H, alkyl; R3 = H, OH; R4 = (substituted) alkyl; R5 = pyridylalkyl, (substituted) aralkyl; or R4R5 = benzene-condensed alkylene;
A = amino acid residue except D-Trp; Y = bond, alkylene, alkenylene], were prepared Thus, BOC-Q2-Phe-N(Me)CH2Ph [BOC = Me3CO2C, Q2 = (2S,4R)-4-hydroxylprolyl residue] (preparation from BOC-Phe-OH given) was deprotected with trifluoroacetic acid and the product was coupled with indole-3-carbonyl chloride (Q3Cl) in CH2Cl2 in the presence of bistrimethylsilylacetamide to give Q3-Q2-Phe-N(Me)CH2Ph. The latter inhibited substance P-induced bronchoconstriction in guinea pigs with an ED50 of 0.072 mg/kg intratracheally.
IT 131948-37-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L9 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as tachykinin antagonist)
RN 131948-37-3 CAPLUS
CN L-Phenylalaninamide, trans-4-hydroxy-1-[(5-hydroxy-1H-indol-3-yl)acetyl]-L-prolyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

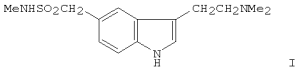


L9 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:478831 CAPLUS
DOCUMENT NUMBER: 105:78831
ORIGINAL REFERENCE NO.: 105:12789a,12792a
TITLE: 3-[2-(Dimethylamino)ethyl]-N-methyl-1H-indole-5-methanesulfonamide
INVENTOR(S): Oxford, Alexander William
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Ger. Offen., 57 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3527648	A1	19860213	DE 1985-3527648	19850801
DE 3527648	C2	19930826		
CH 666026	A5	19880630	CH 1985-3296	19850730
HU 40077	A2	19861128	HU 1985-2945	19850731
HU 201738	B	19901228		
DK 8503511	A	19860202	DK 1985-3511	19850801
DK 158942	B	19900806		
DK 158942	C	19910121		
FI 8502969	A	19860202	FI 1985-2969	19850801
FI 78466	B	19890428		
FI 78466	C	19890810		
SE 8503680	A	19860202	SE 1985-3680	19850801
SE 452460	B	19871130		
SE 452460	C	19880310		
BE 903006	A1	19860203	BE 1985-215426	19850801
NO 8503046	A	19860203	NO 1985-3046	19850801
NO 164653	B	19900723		
NO 164653	C	19901107		
GB 2162522	A	19860205	GB 1985-19418	19850801
GB 2162522	B	19880224		
AU 8545689	A	19860206	AU 1985-45689	19850801
AU 573878	B2	19880623		
FR 2568571	A1	19860207	FR 1985-11790	19850801
FR 2568571	B1	19880923		
NL 8502171	A	19860303	NL 1985-2171	19850801
NL 188642	B	19920316		
NL 188642	C	19920817		
JP 61047464	A	19860307	JP 1985-168664	19850801
JP 06023197	B	19940330		
ZA 8505818	A	19860430	ZA 1985-5818	19850801
AT 8502266	A	19871215	AT 1985-2266	19850801
AT 386196	B	19880711		
CA 1241004	A1	19880823	CA 1985-487992	19850801
PL 146005	B1	19881231	PL 1985-254800	19850801
IL 75986	A	19890228	IL 1985-75986	19850801
SU 1498386	A3	19890730	SU 1985-3935745	19850801
US 5037845	A	19910806	US 1989-317682	19890301
SK 277952	B6	19950913	SK 1991-4041	19911223
CZ 280530	B6	19960214	CZ 1991-4041	19911223
PRIORITY APPLN. INFO.:			GB 1984-19575 A	19840801
			US 1985-761392 B1	19850801

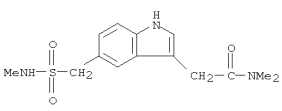
L9 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
US 1987-82666 B1 19870807

OTHER SOURCE(S): CASREACT 105:78831
GI



I

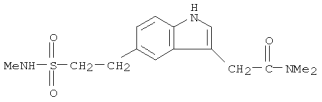
AB The title compound (I), prepared by 8 methods, is useful in treating migraine headaches at 0.1-100 mg per dose, up to 8 times daily. Hydrogenation of 3-(cyanomethyl)-N-methyl-1H-indole-5-methanesulfonamide over prereduced 10% Pd oxide on active C in methanolic and ethanolic Me2NH for 24 h at room temperature gave I (isolated as the succinate). Several formulations were given.
IT 103628-52-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of)
RN 103628-52-0 CAPLUS
CN 1H-Indole-3-acetamide, N,N-dimethyl-5-[[(methylamino)sulfonyl]methyl]- (CA INDEX NAME)



L9 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1985:560388 CAPLUS
DOCUMENT NUMBER: 103:160388
ORIGINAL REFERENCE NO.: 103:25745a,25748a
TITLE: Indole derivatives and their use
INVENTOR(S): Oxford, Alexander William; Evans, Brian; Dowle, Michael Dennis; Coates, Ian Harold
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Ger. Offen., 72 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

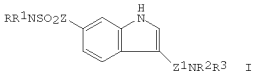
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3444572	A1	19850620	DE 1984-3444572	19841206
DE 3444572	C2	19931014		
FI 8404789	A	19850607	FI 1984-4789	19841205
FI 80260	B	19900131		
FI 80260	C	19900510		
BE 901224	A1	19850606	BE 1984-214125	19841206
DK 8405836	A	19850607	DK 1984-5836	19841206
FR 2555987	A1	19850607	FR 1984-18618	19841206
FR 2555987	B1	19870717		
NO 8404879	A	19850607	NO 1984-4879	19841206
NO 162764	B	19891106		
NO 162764	C	19900214		
SE 8406200	A	19850607	SE 1984-6200	19841206
SE 458446	B	19890403		
SE 458446	C	19890727		
AU 8436367	A	19850613	AU 1984-36367	19841206
AU 575365	B2	19880728		
NL 8403719	A	19850701	NL 1984-3719	19841206
GB 2150932	A	19850710	GB 1984-30810	19841206
GB 2150932	B	19871028		
JP 60155156	A	19850815	JP 1984-258409	19841206
JP 06002733	B	19940112		
AT 8403873	A	19860515	AT 1984-3873	19841206
AT 381934	B	19861210		
ZA 8409498	A	19860924	ZA 1984-9498	19841206
CH 663411	A5	19871215	CH 1984-5810	19841206
CA 1233183	A1	19880223	CA 1984-469528	19841206
IL 73756	A	19880229	IL 1984-73756	19841206
HU 40624	A2	19870128	HU 1985-2083	19850530
CN 85104233	A	19870107	CN 1985-104233	19850603
CN 85106225	A	19870218	CN 1985-106225	19850819
CN 1015055	B	19911211		
US 4994483	A	19910219	US 1989-443874	19891130
DK 9002140	A	19900906	DK 1990-2140	19900906
JP 03184958	A	19910812	JP 1990-326200	19901129
PRIORITY APPLN. INFO.:			GB 1983-32435 A	19831206
			US 1984-678995 B1	19841206

L9 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

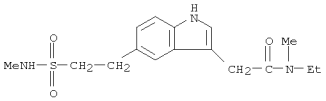


L9 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
US 1987-72786 B1 19870713

OTHER SOURCE(S): CASREACT 103:160388; MARPAT 103:160388
GI



AB Antimigraine (no data) indolealkanesulfonamides I [R = H, alkyl, alkenyl; R1 = cycloalkyl, Ph, phenylalkyl, R; R2, R3 = H, alkyl, CH2:CHCH2; R2R3 = aralkylidene; Z, Z1 = alkyl-(un)substituted alkylene] were prepared
Thus,
4-O2NC6H4CH2CH2SO2Cl was amidated with MeNH2, hydrogenated over Pd-C to the aniline, diazotized, and treated with ZnCl2 to give
4-H2NNHC6H4CH2CH2SO2NHMe. The latter compound was stirred in aqueous MeOH with
(MeO)2CH(CH2)3Cl at 50°, NH4OAc added to pH 4, then refluxed 5 h to give I (R = Me, R1-R3 = H, Z = Z1 = CH2CH2).
IT 98622-74-3P 98623-48-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and lithium aluminum hydride reduction of)
RN 98622-74-3 CAPLUS
CN 1H-Indole-3-acetamide, N-ethyl-N-methyl-5-[2-[(methylamino)sulfonyl]ethyl]- (CA INDEX NAME)

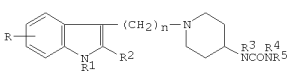


RN 98623-48-4 CAPLUS
CN 1H-Indole-3-acetamide, N,N-dimethyl-5-[2-[(methylamino)sulfonyl]ethyl]- (CA INDEX NAME)

L9 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1977:16538 CAPLUS
DOCUMENT NUMBER: 86:16538
ORIGINAL REFERENCE NO.: 86:2689a,2692a
TITLE: Indolylalkylpiperidines
INVENTOR(S): Huebner, Charles F.
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Ger. Offen., 72 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2609289	A1	19760930	DE 1976-2609289	19760306
SE 7602729	A	19760913	SE 1976-2729	19760227
NO 7600774	A	19760913	NO 1976-774	19760305
GB 1534351	A	19781206	GB 1976-8902	19760305
FI 7600584	A	19760911	FI 1976-584	19760308
FR 2303541	A1	19761008	FR 1976-6495	19760308
FR 2303541	B1	19791005		
AU 7611750	A	19770915	AU 1976-11750	19760308
IL 49171	A	19781217	IL 1976-49171	19760308
BE 839347	A1	19760909	BE 1976-164977	19760309
DK 7601014	A	19760911	DK 1976-1014	19760309
DK 138893	B	19781113		
DK 138893	C	19790423		
DD 124386	A5	19770216	DD 1976-191763	19760309
NL 7602508	A	19760914	NL 1976-2508	19760310
JP 51113878	A	19761007	JP 1976-26622	19760310
US 4147786	A	19790403	US 1977-797151	19770516
US 4242347	A	19801230	US 1979-50003	19790618
PRIORITY APPLN. INFO.:			US 1975-556600 A	19750310
			US 1976-654254 A3	19760202

OTHER SOURCE(S): CASREACT 86:16538; MARPAT 86:16538
GI



I

AB Indolylethylpiperidines (I; R = e.g., H, 5-Cl, 5-Br, 5-F, 7-Me, 7-MeO; R1 = e.g., H, Me; R2 = e.g., H, Me; R3, R4 = e.g., H, H; ethylene, o-phenylene; R5 = e.g., H, Ph; n = 2, 3),useful as antihypertensives,are prepared by various known procedures. Thus, reaction of
3-(2-bromoethyl)indole with 4-ureidopiperidine in DMF 2 days at room temperature
in presence of Et3N gives I (R = R1 = R2 = R3 = R4 = R5 = H, n = 2).
IT 61220-26-6P

L9 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antihypertensive activity of)
RN 61220-26-6 CAPLUS
CN 2-Imidazolidinone,
1-[1-[2-(6-chloro-1H-indol-3-yl)acetyl]-4-piperidinyl]-
(CA INDEX NAME)